

=> fil cap  
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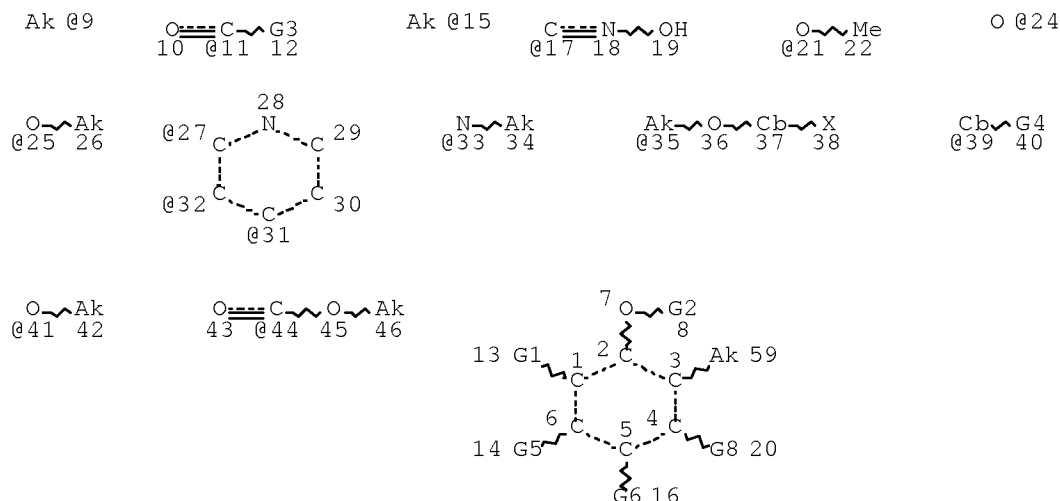
FILE COVERS 1907 - 27 Dec 2007 VOL 147 ISS 26  
 FILE LAST UPDATED: 26 Dec 2007 (20071226/ED)

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<http://www.cas.org/infopolicy.html>

=> d que 126

L21 STR



VAR G1=H/X/CHO  
 VAR G2=9/11/H  
 VAR G3=24/25/27/32/31/33/35/39  
 VAR G4=41/44  
 VAR G5=H/15  
 VAR G6=CHO/COOH/17  
 VAR G8=OH/21  
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 CONNECT IS E1 RC AT 26

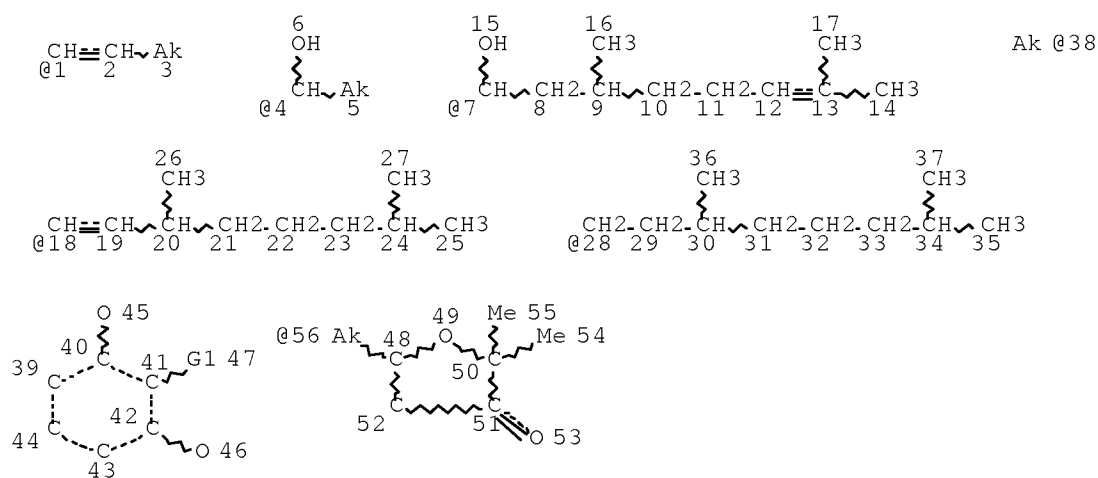
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GGCAT  IS SAT  AT   9
GGCAT  IS MCY  UNS  AT  37
GGCAT  IS MCY  UNS  AT  39
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E6 C  AT  37
ECOUNT IS E6 C  AT  39

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GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 46

STEREO ATTRIBUTES: NONE  
 L22 STR



VAR G1=1/4/7/38/18/28/56

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NODE ATTRIBUTES:
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CONNECT IS E2  RC AT  56
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GGCAT  IS LIN  SAT  AT   5
GGCAT  IS LIN  SAT  AT  38
GGCAT  IS BRA  HIC  AT  56
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E9 C  AT  38

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GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 56

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STEREO ATTRIBUTES: NONE
L24      43 SEA FILE=REGISTRY SSS FUL L21 AND L22
L25      81 SEA FILE=CAPLUS ABB=ON  PLU=ON  L24
L26      73 SEA FILE=CAPLUS ABB=ON  PLU=ON  L25 AND (PY<2004 OR PRY<2004

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OR AY&lt;2004)

=&gt; d 126 ibib abs hitstr tot

L26 ANSWER 1 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:1256641 CAPLUS Full-text  
 DOCUMENT NUMBER: 146:50262  
 TITLE: Antibiotic kit and compositions  
 INVENTOR(S): Friedman, Doron; Besonov, Alex; Tamarkin, Dov; Eini, Meir  
 PATENT ASSIGNEE(S): Foamix Ltd., Israel  
 SOURCE: U.S. Pat. Appl. Publ., 31pp., Cont.-in-part of U.S. Ser. No. 532,618.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 21  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006269485	A1	20061130	US 2006-448490	20060607 <--
WO 2004037225	A2	20040506	WO 2003-IB5527	20031024 <--
WO 2004037225	A3	20041229		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005069566	A1	20050331	US 2004-911367	20040804 <--
US 2006140984	A1	20060629	US 2005-532618	20051222 <--
WO 2007099396	A2	20070907	WO 2006-IB3975	20060607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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US 2007292355	A1	20071220	US 2007-732547	20070404 <--
PRIORITY APPLN. INFO.:				
			US 2002-429546P	P 20021129 <--
			US 2003-492385P	P 20030804 <--
			WO 2003-IB5527	W 20031024 <--
			US 2004-911367	A2 20040804
			US 2005-688244P	P 20050607
			US 2005-532618	A2 20051222
			IL 2002-152486	A 20021025 <--
			US 2003-497648P	P 20030825 <--
			US 2003-530015P	P 20031216 <--

US 2004-835505	A2 20040428
US 2004-922358	A2 20040820
US 2005-41921	A2 20050124
US 2006-789186P	P 20060404
US 2006-448490	A2 20060607
US 2006-861620P	P 20061129
US 2007-880434P	P 20070112

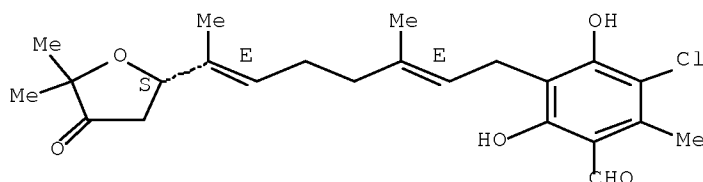
AB The present invention relates to a therapeutic kit to provide an effective dosage of an antibiotic including an aerosol packaging assembly. The assembly includes a container accommodating a pressurized product; and an outlet capable of releasing the pressurized product as a foam, wherein the pressurized product comprises a foamable composition of an antibiotic; at least one organic carrier selected from the group consisting of a hydrophobic organic carrier, an organic polar solvent, an emollient and mixts. at 2-50%, a surfactant, 0.01-5% by weight of at least one polymeric additive selected from the group consisting of a bioadhesive agent, a gelling agent, a film forming agent and a phase change agent, water; and liquefied or compressed gas propellant at 3-25% by weight of the total composition

IT 38462-04-3, Ascofuranone  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antibiotic kit and compns.)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 2 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:371202 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:430014

TITLE: Preparation of phenol derivatives as anti-trypanosoma agents

INVENTOR(S): Saimoto, Hiroyuki; Shigemasa, Yoshihiro; Kita, Kiyoshi; Yabu, Yoshisada; Hosokawa, Tomoyoshi; Yamamoto, Masaichi

PATENT ASSIGNEE(S): Arigen, Inc., Japan

SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037759	A1	20050428	WO 2003-JP13310	20031017 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003273040 A1 20050505 AU 2003-273040 20031017 <--  
AU 2004282055 A1 20050428 AU 2004-282055 20041018 <--  
WO 2005037760 A1 20050428 WO 2004-JP15390 20041018 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

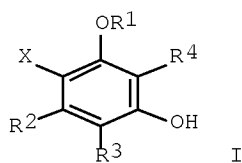
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1681280 A1 20060719 EP 2004-792559 20041018 <--  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1882523 A 20061220 CN 2004-80033945 20041018 <--  
IN 2006DN02774 A 20070803 IN 2006-DN2774 20060517 <--  
US 2007208078 A1 20070906 US 2006-575653 20061213 <--

PRIORITY APPLN. INFO.: WO 2003-JP13310 A 20031017 <--  
WO 2003-JP313310 A 20031017 <--  
WO 2004-JP15390 W 20041018

OTHER SOURCE(S): MARPAT 142:430014  
GI



AB The title compds. I [X represents hydrogen or halogeno; R1 represents hydrogen, etc.; R2 represents hydrogen or C1-4 alkyl; R3 represents CHO or COOH; and R4 represents (CH<sub>2</sub>)<sub>m</sub>CH<sub>3</sub> (wherein m is an integer of 1 to 14), etc.] are prepared Thus, 2,4-dihydroxy-3-(1-hydroxydodecyl)-6-methylbenzaldehyde was prepared from 2,4-dihydroxy-6-methylbenzaldehyde and dodecanal. Compds. of this invention in vitro showed IC<sub>50</sub> values of 0.3 nM to 120 nM in an anti-trypanosoma assay.

IT 850732-56-8P 850732-57-9P 850732-58-0P  
850732-59-1P 850732-60-4P 850732-61-5P  
850732-62-6P 850732-63-7P 850732-64-8P  
850732-65-9P 850732-66-0P 850732-67-1P

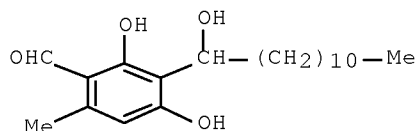
850732-68-2P 850732-69-3P 850732-70-6P  
 850732-71-7P 850732-72-8P 850732-73-9P  
 850732-74-0P 850732-75-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of phenol derivs. as anti-trypanosoma agents)

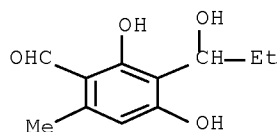
RN 850732-56-8 CAPLUS

CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxydodecyl)-6-methyl- (CA INDEX  
 NAME)



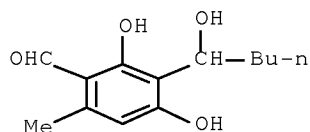
RN 850732-57-9 CAPLUS

CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxypropyl)-6-methyl- (CA INDEX NAME)



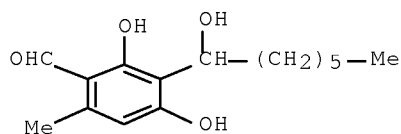
RN 850732-58-0 CAPLUS

CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxypentyl)-6-methyl- (CA INDEX NAME)



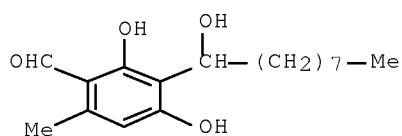
RN 850732-59-1 CAPLUS

CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxyheptyl)-6-methyl- (CA INDEX NAME)



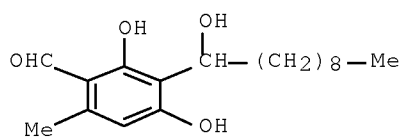
RN 850732-60-4 CAPLUS

CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxynonyl)-6-methyl- (CA INDEX NAME)



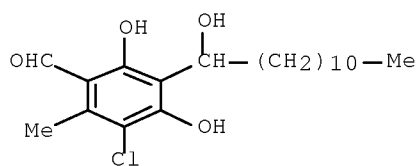
RN 850732-61-5 CAPLUS

CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxydecyl)-6-methyl- (CA INDEX NAME)



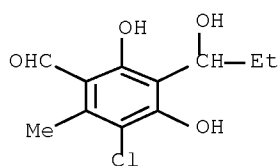
RN 850732-62-6 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-5-(1-hydroxydodecyl)-2-methyl- (CA INDEX NAME)

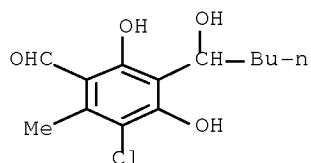


RN 850732-63-7 CAPLUS

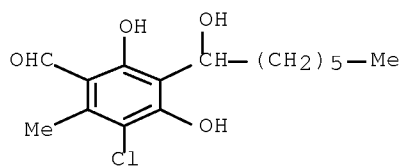
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-5-(1-hydroxypropyl)-2-methyl- (CA INDEX NAME)



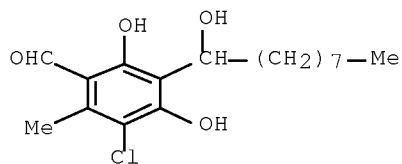
RN 850732-64-8 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-5-(1-hydroxypentyl)-2-methyl- (CA  
 INDEX NAME)



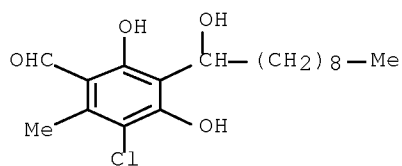
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 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-5-(1-hydroxyheptyl)-2-methyl- (CA  
 INDEX NAME)



RN 850732-66-0 CAPLUS  
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 INDEX NAME)



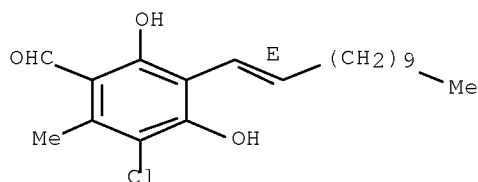
RN 850732-67-1 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-5-(1-hydroxydecyl)-2-methyl- (CA  
 INDEX NAME)





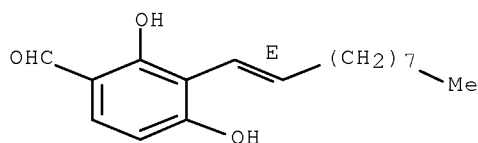
RN 850732-68-2 CAPLUS  
CN Benzaldehyde, 3-chloro-5-(1E)-1-dodecenyl-4,6-dihydroxy-2-methyl- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



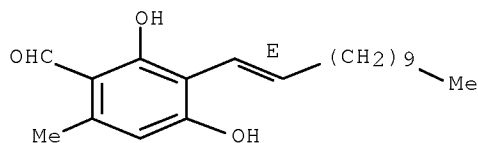
RN 850732-69-3 CAPLUS  
CN Benzaldehyde, 3-(1E)-1-decenyl-2,4-dihydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



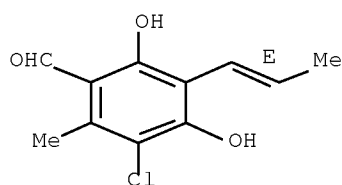
RN 850732-70-6 CAPLUS  
CN Benzaldehyde, 3-(1E)-1-dodecenyl-2,4-dihydroxy-6-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850732-71-7 CAPLUS  
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-(1E)-1-propenyl- (9CI)  
(CA INDEX NAME)

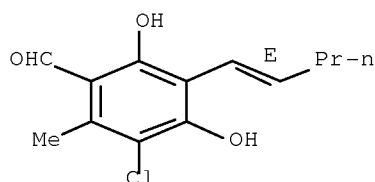
Double bond geometry as shown.



RN 850732-72-8 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-(1E)-1-pentenyl- (9CI)  
(CA INDEX NAME)

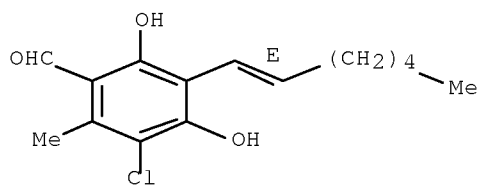
Double bond geometry as shown.



RN 850732-73-9 CAPLUS

CN Benzaldehyde, 3-chloro-5-(1E)-1-heptenyl-4,6-dihydroxy-2-methyl- (9CI)  
(CA INDEX NAME)

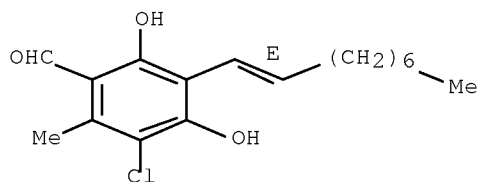
Double bond geometry as shown.



RN 850732-74-0 CAPLUS

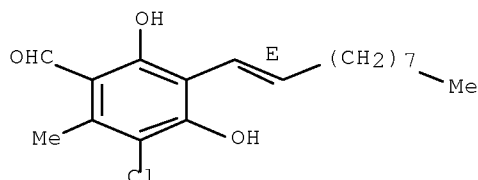
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-(1E)-1-nonenyl- (9CI) (CA  
INDEX NAME)

Double bond geometry as shown.



RN 850732-75-1 CAPLUS  
 CN Benzaldehyde, 3-chloro-5-(1E)-1-decenyl-4,6-dihydroxy-2-methyl- (9CI) (CA  
 INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:362051 CAPLUS Full-text  
 DOCUMENT NUMBER: 142:423803  
 TITLE: Prophylactic and therapeutic agents for  
 cryptosporidiosis containing ascochlorins,  
 ascofuranones, or dehydroascofuranones  
 INVENTOR(S): Kita, Kiyoshi; Yabu, Yoshisada; Nagai, Kazuo;  
 Minagawa, Nobuko; Hosokawa, Tomoyoshi; Suzuki,  
 Takashi; Ota, Nobuo  
 PATENT ASSIGNEE(S): Arigen, Inc., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005112755	A	20050428	JP 2003-347395	20031006 <--
PRIORITY APPLN. INFO.:			JP 2003-347395	20031006 <--
OTHER SOURCE(S):	MARPAT 142:423803			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The agents contain  $\geq 1$  selected from ascochlorins I [ $R_1 = \text{CHO}, \text{CO}_2\text{H}$ ;  $R_2 = \text{H}$ ,  $(\text{C}_n\text{H}_{2n})\text{R}'$  ( $n = 1-5$ ;  $\text{R}' = \text{H}, \text{CO}_2\text{R}''$  on any C atom of  $\text{C}_n\text{H}_{2n}$ ;  $\text{R}'' = \text{H}, \text{C}_1-3$  alkyl),  $\text{COR}'''$  [ $\text{R}''' = \text{pyridyl}, \text{C}_1-3$  alkylamino, (halophenoxy)alkyl,  $\text{C}_1-3$  alkyl-Ph,  $(\text{C}_1-3$  alkoxy carbonyl)phenyl]], ascofuranones II, and dehydroascofuranones III, which inhibit cyanide-resistant quinol oxidase of *Cryptosporidium*. Thus,  $\text{IC}_{50}$  of ascofuranone against *Cryptosporidium* recombinant quinol oxidase was 0.3 nM. Tablets containing ascofuranone were also also formulated.

IT 38462-04-3, Ascofuranone 169564-43-6,  
 Dehydroascofuranone 611217-45-9  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

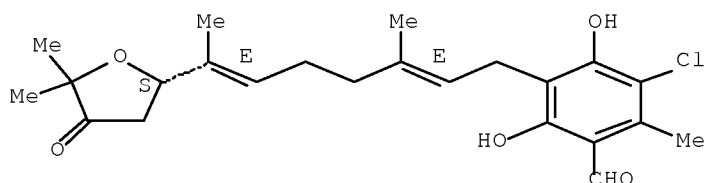
(prophylactic and therapeutic agents for cryptosporidiosis containing ascochlorins, ascofuranones, or dehydroascofuranones as cyanide-resistant quinol oxidase inhibitors)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

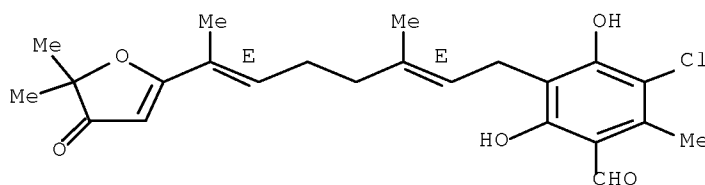
Double bond geometry as shown.



RN 169564-43-6 CAPLUS

CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

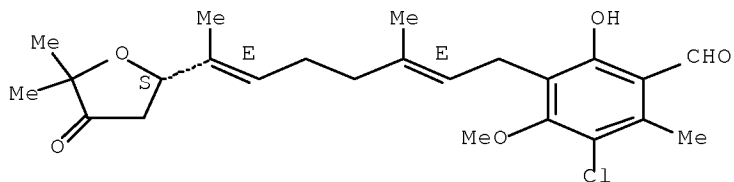


RN 611217-45-9 CAPLUS

CN Benzaldehyde, 3-chloro-6-hydroxy-4-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

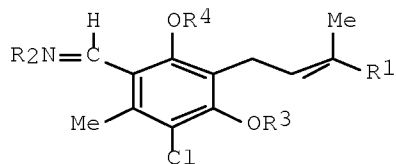
Absolute stereochemistry.

Double bond geometry as shown.

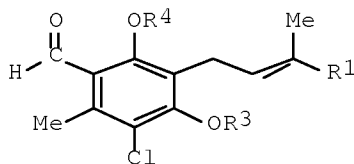


ACCESSION NUMBER: 2004:718503 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:225837  
 TITLE: Preparation of ascochlorin-amino acids Schiff bases or  
 its analogs as novel transcriptional factor and  
 process for producing the same and use thereof  
 INVENTOR(S): Kitahara, Takeshi; Watanabe, Hidenori; Ando, Kunio  
 PATENT ASSIGNEE(S): NRL Pharma, Inc., Japan  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074236	A1	20040902	WO 2004-JP2110	20040224 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2516698	A1	20040902	CA 2004-2516698	20040224 <--
EP 1616856	A1	20060118	EP 2004-714032	20040224 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006247307	A1	20061102	US 2005-546854	20050824
PRIORITY APPLN. INFO.:			JP 2003-92682	A 20030224 <--
			WO 2004-JP2110	W 20040224
OTHER SOURCE(S):		MARPAT 141:225837		
GI				

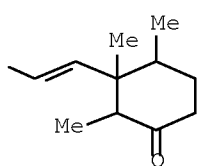


I

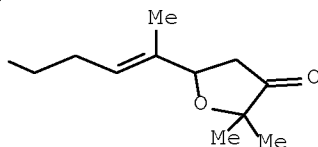


II

Q=



Q1=



AB Novel imino compds., i.e. 3-prenylbenzaldehyde-amino acid Schiff base (I) [R1 = Q, Q1; R2 = (CH2)<sub>n</sub>CHR<sub>5</sub>R<sub>6</sub>; n = 0-6; R<sub>5</sub> = H, NH<sub>2</sub>, mono- or di(C1-6 alkyl)amino, phenyl-C1-6 alkyl; R<sub>6</sub> = CO<sub>2</sub>H, CONH<sub>2</sub>, (un)substituted C1-6 alkoxy carbonyl; R<sub>3</sub>, R<sub>4</sub> = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-

6 alkynyl, or C3-8 cycloalkyl, acyl, aryl, CO<sub>2</sub>H] are synthesized by mixing and reacting ascochlorin, its analogs or its derivs. (II; R<sub>1</sub>-R<sub>3</sub> = same as above) with amino acids having a primary amino group of formula R<sub>5</sub>R<sub>6</sub>CH(CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub> (R<sub>5</sub>, R<sub>6</sub> = same as above) in the presence/absence of a basic catalyst. The novel imino compds. I thus synthesized are ligands which activate nuclear receptor superfamily such as retinoid orphan receptor (RXR), peroxisome proliferator activated receptor (PPAR) and steroid receptor (PXR) and show an effect of promoting the transcription of a drug-metabolizing enzyme CYP7A1. They have therapeutic effects on diseases such as life style-related diseases, diabetes, arteriosclerosis, multiple risk factor syndrome, myxedema, hypertension, or chronic inflammation. They are useful for the preventives and/or therapeutic agents for restenosis of arterial cavity enlarged by balloon catheter or stent or as serum cholesterol-lowering agents or adhesion promoters for adhering transplanted cells or tissues derived by differentiated induction of stem cells in a recipient. Thus, when a feed containing 0.025-0.1% compound (III) was fed to obese diabetic mice for 20 days, the excretion of sugar in urine was effectively reduced.

IT 38462-04-3

RL: RCT (Reactant); RACT (Reactant or reagent)

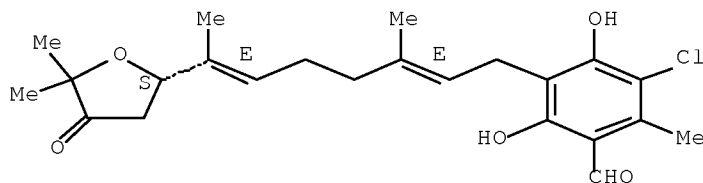
(preparation of ascochlorin Schiff bases or its analogs as transcriptional factor by condensation of ascochlorin, analogs, or derivs. thereof with amino acids)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:677762 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:167741

TITLE: Indole alkaloids as enhancers for antiprotozoal activity of ascofuranone, their compositions and kits, and treatment of protozoan diseases with them

INVENTOR(S): Kita, Kiyoshi; Yabu, Yoshisada; Nagai, Kazuo; Minagawa, Nobuko; Hosokawa, Kazuyoshi

PATENT ASSIGNEE(S): Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004231601	A	20040819	JP 2003-24643	20030131 <--
PRIORITY APPLN. INFO.:			JP 2003-24643	20030131 <--

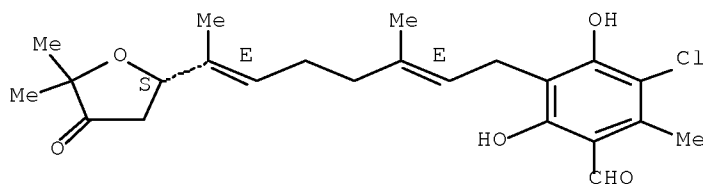
AB Title enhancers, useful for treatment of African trypanosoma, etc., contain indole alkaloids, e.g. in *Picrasma quassioides*. Thus, benzalharman at 25  $\mu$ M inhibited glycerokinase by 62.1%. Benzalharman enhanced the antiprotozoal activity of ascofuranone with ED50 of 8.5  $\mu$ M.

IT 38462-04-3, Ascofuranone  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (indole alkaloids of *Picrasma quassioides* as enhancers for ascofuranone for treatment of protozoan diseases)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 6 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:46191 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:314454

TITLE: Studies on the stimulating bioactivity of ascofuranone to HepG2 cell LDLR report gene expression

AUTHOR(S): Zhang, Hua; Zhang, Chenggang; Jiang, Chenglin

CORPORATE SOURCE: Applied Ecology Institute, Chinese Academy of Sciences, Shenyang, 110015, Peop. Rep. China

SOURCE: Zhongguo Kangshengsu Zazhi (2002), 27(8), 449-451, 469  
 CODEN: ZKZAEY; ISSN: 1001-8689

PUBLISHER: Zhongguo Kangshengsu Zazhishe

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The new potent cholesterol-lowering bioactive compound that could enhance the expression of low d. lipoprotein receptor gene was screened by using a high throughput screening system of a stable luciferase reporting gene-transfected HepG2 cell line with the expression modulating element of LDLR gene as target. One compound named ascofuranone was isolated from one strain of fungi which showed moderate stimulating activity to the LDLR luciferase reporting gene expression of the assay cell line and the SC150 was 40.4  $\mu$ M. The stimulating bioactivity of ascofuranone for the LDLR gene expression showed a new important revelation for the further studies of its hypolipidemic activity.

IT 38462-04-3, Ascofuranone  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (studies on stimulating bioactivity of ascofuranone to HepG2 cell LDLR

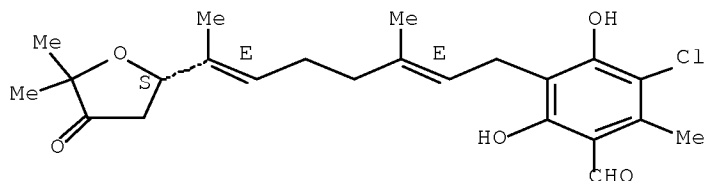
report gene expression)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 7 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:822795 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:357611

TITLE: Ascofuranone as a chemotherapeutic agent of African sleeping sickness

AUTHOR(S): Yabu, Yoshisada; Suzuki, Takashi; Kita, Kiyoshi

CORPORATE SOURCE: Dep. Mol. Parasitol., Nagoya City Univ., Nagoya, 467-8601, Japan

SOURCE: Baioisaiensu to Indasutori (2003), 61(10), 681-682

CODEN: BIDSE6; ISSN: 0914-8981

PUBLISHER: Baioindasutori Kyokai

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Japanese

AB A review on African sleeping sickness caused by Trypanosoma infection, inhibition of trypanosome alternative oxidase by ascofuranone, and therapeutic effect of ascofuranone in African sleeping sickness mouse models.

IT 38462-04-3, Ascofuranone

RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

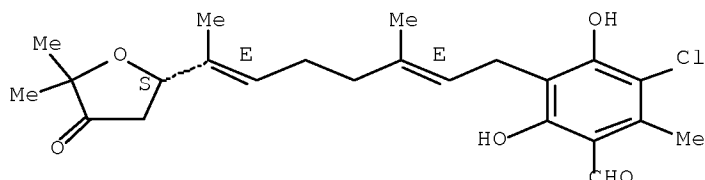
(effect of ascofuranone on African sleeping sickness caused by Trypanosoma infection)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.





L26 ANSWER 8 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:787439 CAPLUS Full-text  
 DOCUMENT NUMBER: 140:180196  
 TITLE: Overproduction of highly active trypanosome  
 alternative oxidase in Escherichia coli heme-deficient  
 mutant  
 AUTHOR(S): Fukai, Yoshihisa; Nihei, Coichi; Kawai, Keisuke; Yabu,  
 Yoshisada; Suzuki, Takasi; Ohta, Nobuo; Minagawa,  
 Nobuko; Nagai, Kazuo; Kita, Kiyoshi  
 CORPORATE SOURCE: Department of Biomedical Chemistry, Graduate School of  
 Medicine, University of Tokyo, Bunkyo-ku, Tokyo,  
 113-0033, Japan  
 SOURCE: Parasitology International (2003), 52(3),  
 237-241  
 CODEN: PAINFD; ISSN: 1383-5769  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

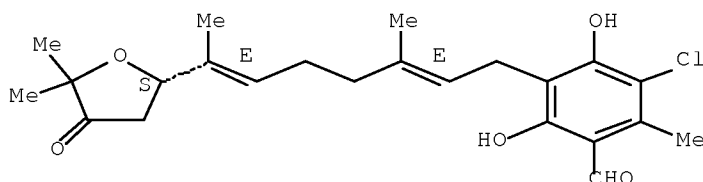
AB Cyanide-insensitive trypanosome alternative oxidase (TAO) is the terminal  
 oxidase of the respiratory chain of long slender bloodstream forms of the  
 African trypanosome, which causes sleeping sickness in humans and nagana in  
 cattle. TAO has been targeted for the development of anti-trypanosomal drugs,  
 because it does not exist in the host. In this study, we established a system  
 for overprodn. of highly active TAO in Escherichia coli heme-deficient mutant.  
 Kinetic anal. of recombinant enzyme and TAO in Trypanosoma brucei brucei  
 mitochondria revealed that recombinant TAO retains the properties of native  
 enzyme, indicating that recombinant TAO is quite valuable for further biochem.  
 study of TAO.

IT 38462-04-3, Ascofuranone  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibition kinetics of alternative oxidase of trypanosome  
 overexpressed in Escherichia coli heme-deficient mutant)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-  
 tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX  
 NAME)

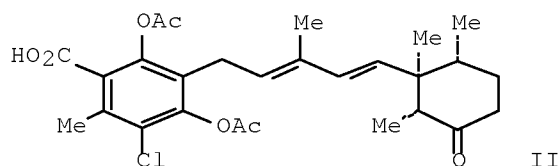
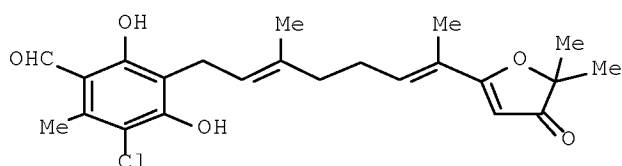
Absolute stereochemistry.  
 Double bond geometry as shown.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 9 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:643613 CAPLUS Full-text  
 DOCUMENT NUMBER: 139:307902

TITLE: Ascochlorin Derivatives as Ligands for Nuclear Hormone Receptors  
 AUTHOR(S): Togashi, Marie; Ozawa, Satoshi; Abe, Shoko; Nishimura, Tomoyuki; Tsuruga, Mie; Ando, Kunio; Tamura, Gakuzo; Kuwahara, Shigefumi; Ubukata, Makoto; Magae, Junji  
 CORPORATE SOURCE: Department of Biotechnology, Institute of Research and Innovation, Kashiwa, 277-0861, Japan  
 SOURCE: Journal of Medicinal Chemistry (2003), 46(19), 4113-4123  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:307902  
 GI

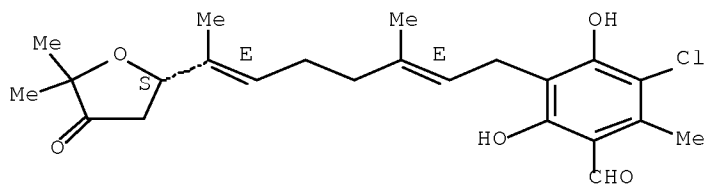


AB Nuclear receptor family proteins are structurally related transcription factors activated by specific lipophilic compds. Because they are activated by a variety of hormonal mols., including retinoic acid, vitamin D, and steroid hormones, they are assumed to be promising targets for clin. drugs. We previously found that one ascochlorin derivative, 4-O-carboxymethyl-ascochlorin, is a potent agonist of peroxisome proliferator activated receptor  $\gamma$  (PPAR $\gamma$ ). Here, we synthesized derivs. of ascochlorin, designated as a lead compound, to create new modulators of nuclear hormone receptors. Two derivs., 4-O-carboxymethyl-2-O-methylascochlorin and 4-O-isonicotinoyl-2-O-methylascochlorin, showed improved agonistic activity for PPAR $\gamma$  and induced differentiation of a progenitor cell line, C3H10T1/2. We also found that ascochlorin, dehydroascofuranone (I), and an ascochlorin 2,4-O-diacetyl-1-carboxylic acid derivative (II) specifically activated estrogen receptors, PPAR $\alpha$ , and an androgen receptor. All of the derivs. activated the pregnane X receptor. These results suggest that the chemical structure of ascochlorin is useful in designing novel modulators of nuclear receptors.

IT 38462-04-3, Ascofuranone  
 RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)  
 (preparation of ascochlorin and ascofuranone derivs. as ligands for nuclear hormone receptors)

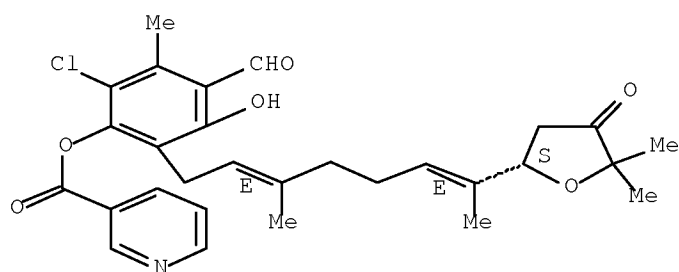
RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



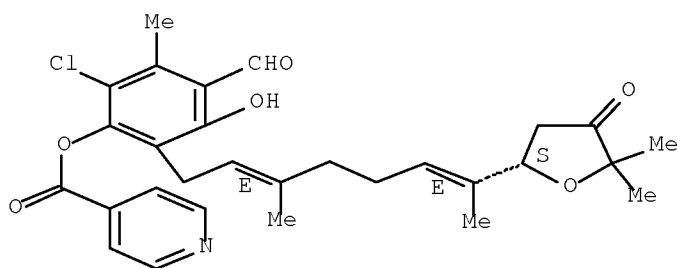
IT 60217-10-9P 155267-06-4P 169564-43-6P  
290361-53-4P 611217-45-9P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)  
(preparation of ascochlorin and ascofuranone derivs. as ligands for nuclear  
hormone receptors)  
RN 60217-10-9 CAPLUS  
CN 3-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-  
3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-  
octadienyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 155267-06-4 CAPLUS  
CN 4-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-  
3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-  
octadienyl]phenyl ester (9CI) (CA INDEX NAME)

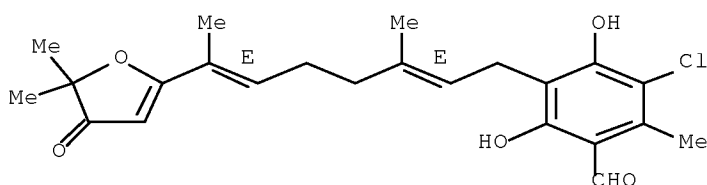
Absolute stereochemistry.  
Double bond geometry as shown.



RN 169564-43-6 CAPLUS

CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

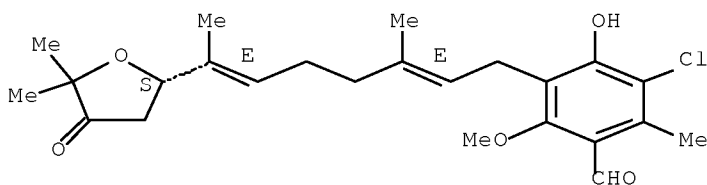


RN 290361-53-4 CAPLUS

CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

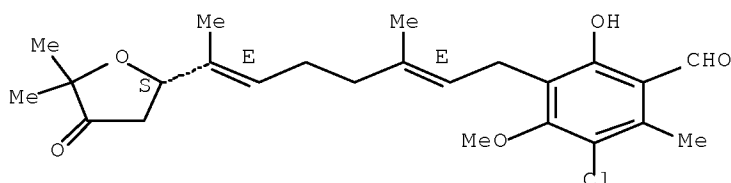


RN 611217-45-9 CAPLUS

CN Benzaldehyde, 3-chloro-6-hydroxy-4-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 10 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:610250 CAPLUS Full-text  
 DOCUMENT NUMBER: 139:159971  
 TITLE: Medicinal composition for diagnosis, prevention, or therapy of multiple risk factor syndrome  
 INVENTOR(S): Ando, Kunio; Hosokawa, Tomoyoshi; Yamamoto, Masaichi  
 PATENT ASSIGNEE(S): Arigen, Inc., Japan  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063849	A1	20030807	WO 2002-JP767	20020131 <--
WO 2003063849	A9	20040205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1481670	A1	20041201	EP 2002-710424	20020131 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2005153870	A1	20050714	US 2005-502912	20050223 <--
PRIORITY APPLN. INFO.: WO 2002-JP767 W 20020131 <--				
AB A preventive or remedial agent for multiple risk factor syndrome which contains as effective ingredients one or more compds. selected among compds. which are compds. selected from the group consisting of ascochlorin, ascochlorin homologs, ascofuranone, and ascofuranone homologs and contain at least an oxaldehyde moiety in which neither of the hydroxyl groups in the 2- and 4-positions have been replaced and among compds. which are selected from that group and contain at least an oxaldehyde moiety in which at least one of the 2- and 4-position hydroxyl groups has been replaced.				
IT 38462-04-3P, Ascofuranone 155267-06-4P 290361-53-4P				
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				

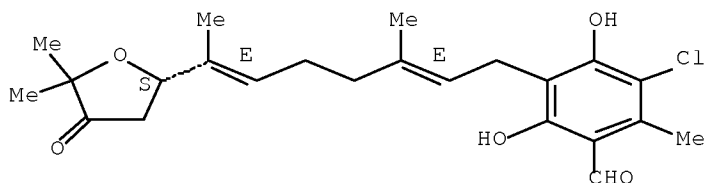
(medicinal composition for diagnosis, prevention, or therapy of multiple risk factor syndrome)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

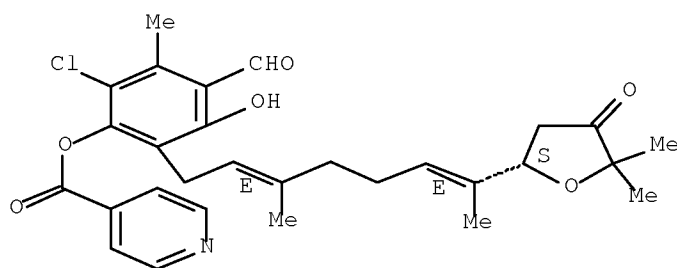


RN 155267-06-4 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

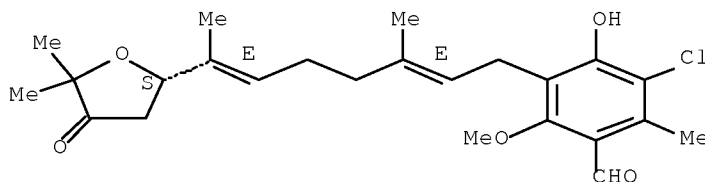


RN 290361-53-4 CAPLUS

CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

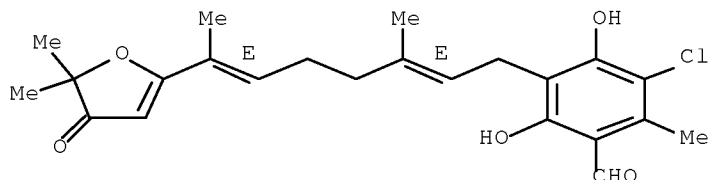
Absolute stereochemistry.

Double bond geometry as shown.



IT 169564-43-6P, Dehydroascofuranone  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (medicinal composition for diagnosis, prevention, or therapy of multiple  
 risk factor syndrome)  
 RN 169564-43-6 CAPLUS  
 CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-  
 furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX  
 NAME)

Double bond geometry as shown.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 11 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:473397 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:285680

TITLE: Screening of microbial secondary metabolites  
 inhibiting cholesterol biosynthesis with the use of  
 hepatoblastoma G2 cell culture

AUTHOR(S): Trenin, A. S.; Terekhova, L. P.; Tolstykh, I. V.;  
 Zenkova, V. A.; Fedorova, G. B.; Katrukha, G. S.

CORPORATE SOURCE: G. F. Gause Research Institute of New Antibiotics,  
 Russian Academy of Medical Sciences, Moscow, Russia

SOURCE: Antibiotiki i Khimioterapiya (2003), 48(1),  
 3-8

CODEN: ANKHEW; ISSN: 0235-2990

PUBLISHER: Izdatel'skii Dom "Krasnaya Ploshchad"

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB The culture of hepatoblastoma G2 (Hep G2) cells is proposed as an effective  
 model for screening of microbial metabolites - inhibitors of sterol  
 biosynthesis. This model can be applied at early stages of screening  
 procedures and is quite effective for testing of crude exts. of producers'  
 culture broth. The test is based on measurement inhibition of the  
 radiolabeled precursors incorporation in cholesterol and sep. fractions of  
 lipids by microbial metabolites in Hep G2 cells. That allows not only to  
 reveal inhibitors of cholesterol biosynthesis, but also to evaluate mechanism  
 of action, including ability to inhibit the synthesis of cholesterol ethers.  
 The cholesterol biosynthesis inhibition was tested at 150 microbial cultures  
 (actinomycetes and imperfect fungi), isolated from soil. The ability to  
 inhibit <sup>14</sup>C-acetate incorporation into cholesterol was found in 15-20% of  
 microbial cultures possessing antifungal activity of exts. (culture broth and  
 mycelium).

IT 38462-04-3P

RL: BMF (Bioindustrial manufacture); PAC (Pharmacological activity); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

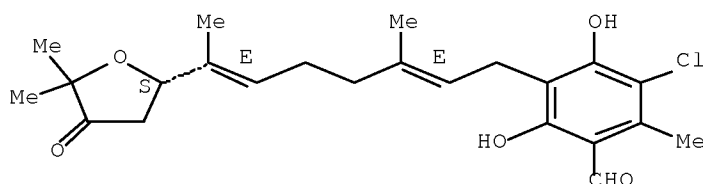
(hepatoblastoma G2 cell culture use for screening of microbial metabolites inhibiting cholesterol biosynthesis)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 12 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:443379 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:22662

TITLE: The efficacy of ascofuranone in a consecutive treatment on *Trypanosoma brucei brucei* in mice

AUTHOR(S): Yabu, Yoshisada; Yoshida, Ayako; Suzuki, Takashi; Nihei, Coh-ichi; Kawai, Keisuke; Minagawa, Nobuko; Hosokawa, Tomoyoshi; Nagai, Kazuo; Kita, Kiyoshi; Ohta, Nobuo

CORPORATE SOURCE: Department of Molecular Parasitology, Nagoya City University, Nagoya, 467-8601, Japan

SOURCE: Parasitology International (2003), 52(2), 155-164

CODEN: PAINFD; ISSN: 1383-5769

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Consecutive administration of ascofuranone without glycerol was found to have therapeutic efficacy against *Trypanosoma brucei brucei* infection in mice. A suspension of ascofuranone (25-100 mg/kg) was administered i.p. every 24 h for 1-4 consecutive days to trypanosome-infected mice and efficacy was compared with oral treatment. With i.p. administration, all mice treated with 100 mg/kg ascofuranone for 4 consecutive days were cured. On contrary, with oral treatment a higher dose of ascofuranone (400 mg/kg) was needed for 8 consecutive days to cure the mice. With i.p. treatment, parasitemia was strongly suppressed, with almost all long slender bloodstream forms of the parasite changed to short stumpy forms by day 3 and the parasites were eliminated 4 days after the start of treatment. These ascofuranone-induced short stumpy forms were morphol. analogous to the stumpy forms 2 days after peak parasitemia of pleomorphic clone of *T. b. brucei* GUTat 3.1. However, the properties of ubiquinol oxidase activity, which is the target of ascofuranone, in mitochondria isolated from before and after treatment, were almost same. The enzymic activities of ubiquinol oxidase were only decreased to approx. 30% within a day after treatment, and then kept at nearly the same level. In the present study, we have improved the regimen for administration of ascofuranone without glycerol, and demonstrated that consecutively administered ascofuranone showed trypanocidal effects in *T. b. brucei* infected mice. Our



present results strongly suggest that consecutive administration of ascofuranone may be an effective chemotherapy for African trypanosomiasis.

IT 38462-04-3, Ascofuranone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

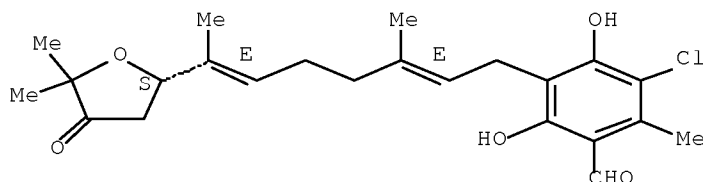
(trypanosomicidal efficacy of ascofuranone in a consecutive treatment on *Trypanosoma brucei brucei* in mice)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 13 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:196106 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:32393

TITLE: Purification of active recombinant trypanosome alternative oxidase

AUTHOR(S): Nihei, Coichi; Fukai, Yoshihisa; Kawai, Keisuke; Osanai, Arihiro; Yabu, Yoshisada; Suzuki, Takashi; Ohta, Nobuo; Minagawa, Nobuko; Nagai, Kazuo; Kita, Kiyoshi

CORPORATE SOURCE: Graduate School of Medicine, Department of Biomedical Chemistry, University of Tokyo, Bunkyo-ku, Tokyo, 113-0033, Japan

SOURCE: FEBS Letters (2003), 538(1-3), 35-40

CODEN: FEBLAL; ISSN: 0014-5793

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Trypanosome alternative oxidase (TAO) is the terminal oxidase of the respiratory chain in long slender bloodstream forms of African trypanosomes. TAO is a cytochrome-independent, cyanide-insensitive quinol oxidase. These characteristics are distinct from those of the bacterial quinol oxidases, proteins that belong to the heme-copper terminal oxidase superfamily. The inability to purify stable TAO has severely hampered biochem. studies of the alternative oxidase family. In the present study, we were able to purify recombinant TAO to homogeneity from *Escherichia coli* membranes using the detergent digitonin. Kinetic anal. of the purified TAO revealed that the specific inhibitor ascofuranone is a competitive inhibitor of ubiquinol oxidase activity.

IT 38462-04-3, Ascofuranone

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(kinetic anal. of recombinant trypanosome alternative oxidase finds

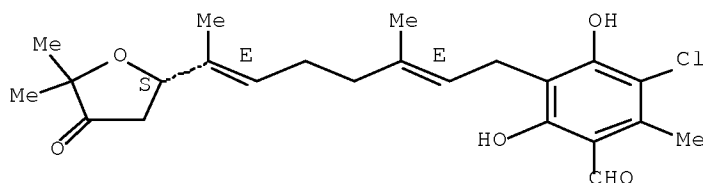
ascofuranone is competitive inhibitor vs. substrate ubiquinol)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 14 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:111303 CAPLUS Full-text

DOCUMENT NUMBER: 138:147675

TITLE: Determination of aromatic aldehydes in blood by HPLC

INVENTOR(S): Ando, Kunio; Hosokawa, Tomoyoshi; Yamamoto, Masakazu

PATENT ASSIGNEE(S): Arigen, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003043023	A	20030213	JP 2001-235920	20010803 <--
PRIORITY APPLN. INFO.:			JP 2001-235920	20010803 <--

AB The method for determination of aromatic aldehydes (e.g., ascochlorin, its derivs., and analogs) and/or their metabolites in biol. samples (e.g., blood serum) collected from animals administered with the aromatic aldehydes, involves hydrolysis of conjugates between the aromatic aldehydes and/or their metabolites and biol. proteins under acidic conditions and HPLC determination of the hydrolysis products. Blood was collected from rats 1, 2, 4, 6, 8, 24, 48, and 72 h after oral administration of 4-O-methylascochlorin (I) at 50 mg/kg. Serum was separated from the blood, mixed with EtOH containing 5% AcOH, stored in a refrigerator for 1 wk, and then subjected to HPLC. Blood concns. of I determined by this method showed good correlation ( $r \geq 0.95$ ) with calculated values obtained by tracer study using a labeled compound, showing that this method is useful for pharmacokinetic studies.

IT 38462-04-3, Ascofuranone

RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)

(acid hydrolysis for determination of ascochlorin, its derivs., analogs,

and

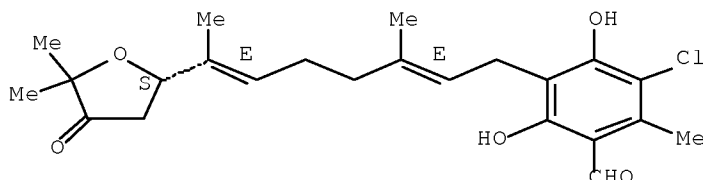
metabolites in blood by HPLC)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-

tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 15 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:588602 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 137:293636

TITLE: Studies on a strain of fungi producing active compound that prevents VCAM-1 gene expression

AUTHOR(S): Zhang, Hua; Zhang, Chenggang; Jiang, Chenglin

CORPORATE SOURCE: Applied Ecology Institute, Chinese Academy of Sciences, Shenyang, 110015, Peop. Rep. China

SOURCE: Zhongguo Kangshengsu Zazhi (2002), 27(4), 196-198, 217

CODEN: ZKZAEY; ISSN: 1001-8689

PUBLISHER: Zhongguo Kangshengsu Zazhishe

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The bioactive compound, having the preventive effect on the expression of VCAM-1 and being used as new drugs of treating immunol. diseases such as rheumatoid arthritis, was screened in high throughout from the metabolites of microorganism. The vascular cell adhesion mol.-1 (VCAM-1) gene was used as the research target, and a stable transfected cell line M-4 carrying the luciferase report gene was used. One active compound was isolated from the mycelium of a strain of fungi FO-5897 by solvent extraction, ODS column chromatog., and HPLC purification, etc. This compound showed moderate inhibitory activity against the luciferase report gene expression of the assay cell line and IC50 was 13.8  $\mu$ M. The structure of this compound was elucidated as the hypolipidemic and antitumor compound ascofuranone by physico-chemical properties and <sup>1</sup>H-NMR anal.

IT 38462-04-3P, Ascofuranone

RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL

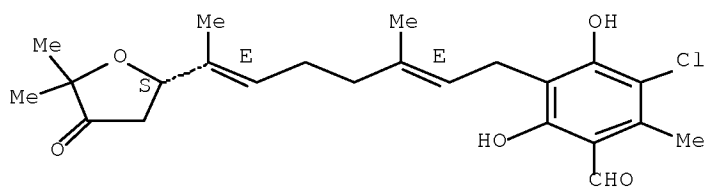
(Biological study); PREP (Preparation); USES (Uses)

(strain of fungi producing active compound that prevents VCAM-1 gene expression)

RN 38462-04-3 CAPLUS

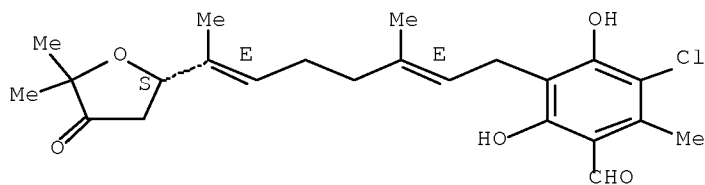
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 16 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:455276 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 138:49228  
 TITLE: Target molecule of the novel anti-Trypanosoma drug  
 ascofuranone: trypanosome alternative oxidase  
 AUTHOR(S): Nihei, Koichi; Kita, Kiyoshi  
 CORPORATE SOURCE: Graduate School of Medicine, University of Tokyo,  
 Japan  
 SOURCE: Kagaku to Kyoiku (2002), 50(5), 350-354  
 CODEN: KAKYKY; ISSN: 0386-2151  
 PUBLISHER: Nippon Kagakkai  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: Japanese  
 AB A review, discussing the action mechanism and pharmacol. of the anti-  
 Trypanosoma drug ascofuranone against Trypanosoma brucei infestation by  
 targeting trypanosome alternative oxidase.  
 IT 38462-04-3, Ascofuranone  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (pharmacol. of ascofuranone against Trypanosoma brucei infestation by  
 targeting trypanosome alternative oxidase)  
 RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-  
 tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX  
 NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 17 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:645975 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 133:208011  
 TITLE: Preparation of ascofuranone and ascochlorin  
 derivatives as ligands of peroxisome proliferator  
 activated receptors  
 INVENTOR(S): Tamura, Gakuzo; Ando, Kunio; Magae, Junji  
 PATENT ASSIGNEE(S): Nuclear Receptor Research Limited, Japan; Institute of

SOURCE: Research and Innovation  
PCT Int. Appl., 57 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053563	A1	20000914	WO 2000-JP1497	20000313 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2366281	A1	20000914	CA 2000-2366281	20000313 <--
BR 2000009474	A	20011127	BR 2000-9474	20000313 <--
EP 1176134	A1	20020130	EP 2000-908027	20000313 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103002	T2	20020321	TR 2001-3002	20000313 <--
TR 200202077	T2	20021121	TR 2002-2077	20000313 <--
NO 2001004394	A	20011112	NO 2001-4394	20010910 <--
MX 2001PA09127	A	20030714	MX 2001-PA9127	20010910 <--
PRIORITY APPLN. INFO.:			JP 1999-106996	A 19990311 <--
			WO 2000-JP1497	W 20000313 <--

OTHER SOURCE(S): MARPAT 133:208011

AB This document discloses ligands of peroxisome proliferator-activated receptors (PPAR) which are compds. selected from the group consisting of ascofuranone, and ascofuranone homologs (or derivs.) and ascochlorin homologs (or derivs.) having at least an orcyaldehyde moiety wherein the hydrogen atom(s) of the hydroxyl group(s) at the 2-position and/or the 4-position of the orcyaldehyde moiety are substituted by C1-15 alkyl, C1-15 alkenyl, CH<sub>2</sub>COOH, CH<sub>2</sub>COO(C1-15 alkyl), nicotinoyl, isonicotinoyl, etc. These ligands are usable in preventing and/or treating diabetes; hypertension or cerebrovascular disorders; arteriosclerosis; complications of diabetes; chronic inflammation; cachexia; digestive cancers, etc. Thus, ascofuranone at 100 mg/kg/day orally was effective in reducing the excretion of sugar in urine in diabetic rats. Formulations are given.

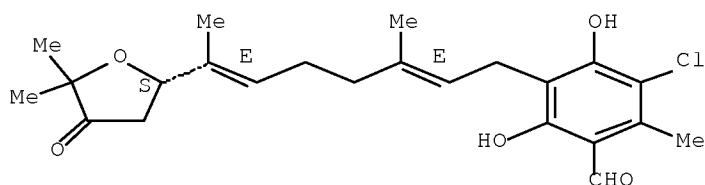
IT 38462-04-3, Ascofuranone

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(preparation of ascofuranone and ascochlorin derivs. as ligands of peroxisome proliferator activated receptors)

RN 38462-04-3 CAPLUS

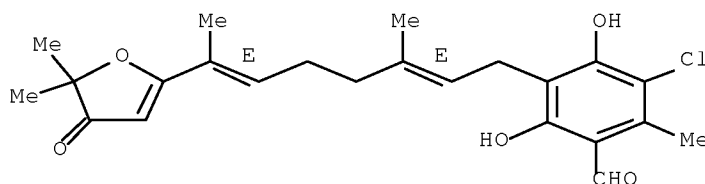
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



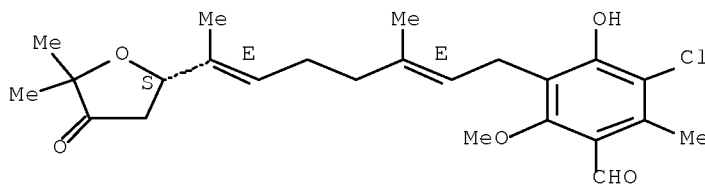
IT 169564-43-6P, Dehydroascofuranone 290361-53-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of ascofuranone and ascochlorin derivs. as ligands of peroxisome proliferator activated receptors)  
 RN 169564-43-6 CAPLUS  
 CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 290361-53-4 CAPLUS  
 CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 18 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:20970 CAPLUS Full-text  
 DOCUMENT NUMBER: 132:175378  
 TITLE: Hypolipidemic action of ascofuranone in hepatoblastoma G2 cell culture

AUTHOR(S): Trenin, A. S.  
 CORPORATE SOURCE: Institute of New Antibiotics, Russian Academy of Medical Sciences, Moscow, Russia  
 SOURCE: Antibiotiki i Khimioterapiya (1999), 44(9), 7-9  
 CODEN: ANKHEW; ISSN: 0235-2990  
 PUBLISHER: Izdatel'stvo Media Sfera  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian

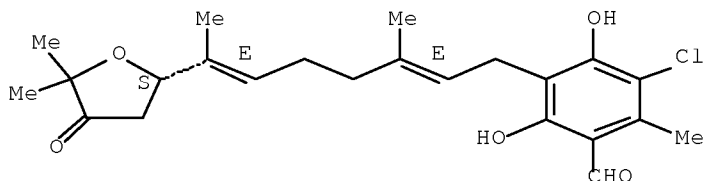
AB Ascofuranone, an isoprenoid antibiotic, suppressed <sup>14</sup>C-acetate incorporation into cholesterol, cholesterol ethers, triglycerides, phospholipids and free fatty acids in Hep G2 cell culture. Such a complex action of the antibiotic on lipid synthesis and metabolism was not connected with the inhibition of protein synthesis and the antibiotic toxicity.

IT 38462-04-3, Ascofuranone  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (hypolipidemic action of ascofuranone in hepatoblastoma G2 cell culture)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 19 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:401454 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 131:55097

TITLE: Activity of the illicicolins against plant pathogenic fungi

AUTHOR(S): Bal-Tembe, S.; Kundu, S.; Roy, K.; Hiremath, C. P.; Gole, G.; De Souza, E. Pinto; Kumar, E. K. S. Vijaya; Gates, D. A.; Pillmoor, J. B.

CORPORATE SOURCE: Hoechst Marion Roussel Ltd, Research Centre, Mumbai, 400 080, India

SOURCE: Pesticide Science (1999), 55(6), 645-647  
 CODEN: PSSCBG; ISSN: 0031-613X

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Illicicolins D, E, F, dechloroillicicolin D, ascofuranone and arthrichitin were isolated from the fermentation broth of Nectria sp (HIL Y 90 3333). The illicicolins showed good fungicidal activity in plants.

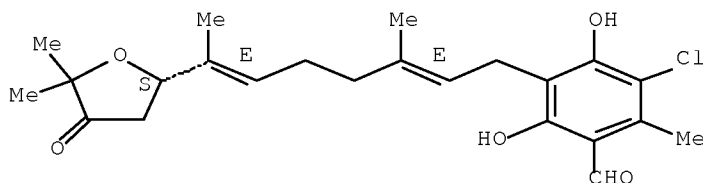
IT 38462-04-3P, Ascofuranone  
 RL: AGR (Agricultural use); BMF (Bioindustrial manufacture); BIOL

(Biological study); PREP (Preparation); USES (Uses)  
(fungicide from Nectria fermentation broth)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 20 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:86482 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 130:267283

TITLE: Synthesis of enantiomerically enriched  
2,5-dihydrofuran derivatives from easily available  
enantiomerically enriched 2-butyne-1,4-diols by  
stereospecific transformation

AUTHOR(S): Saimoto, Hiroyuki; Yasui, Masaru; Ohrai, Shin-ichiro;  
Oikawa, Hiroshige; Yokoyama, Kazuhiro; Shigemasa,  
Yoshihiro

CORPORATE SOURCE: Dep. Mater. Sci., Fac. Eng., Tottori University,  
Tottori, 680-8552, Japan

SOURCE: Bulletin of the Chemical Society of Japan (  
1999), 72(2), 279-284

CODEN: BCSJA8; ISSN: 0009-2673

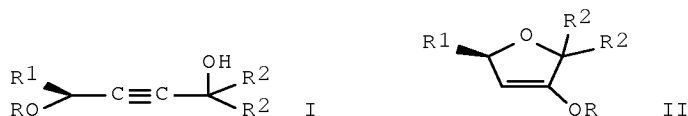
PUBLISHER: Chemical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:267283

GI



AB The enantiomerically enriched trisubstituted (acyloxy)butynols I [R = Ac, Me<sub>3</sub>CCO; R<sub>1</sub> = Me, pentyl, Ph, (E,E)-THP-OCH<sub>2</sub>CH:CM<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH:CM<sub>2</sub> (THP = tetrahydro-2-pyranyl); R<sub>22</sub> = (CH<sub>2</sub>)<sub>5</sub>; R<sub>2</sub> = Me] were transformed into (acyloxy)dihydrofurans II with complete stereospecificity by Ag(I)-mediated rearrangement of I to allenic intermediates followed by Ag(I)-assisted



cyclization. A stereochem. anal. revealed that the newly formed carbon-oxygen bond in II was formed from the back side of the cleaved carbon-oxygen bond in I. I were prepared by an enantioselective reduction of the corresponding alkynyl ketones and subsequent acylation. Since II were easily converted to the corresponding 4,5-dihydro-3(2H)-furanones, this sequence was successfully applied to the formal synthesis of a differentiation-inducing antibiotic, (S)-(-)-ascofuranone.

IT 38462-04-3F, Ascofuranone

RL: SPN (Synthetic preparation); PREP (Preparation)

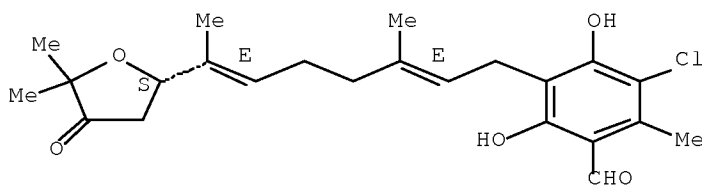
(preparation of enantiomeric (acyloxy)dihydrofurans and formal synthesis of ascofuranone by rearrangement-cyclocondensation of butynediol esters)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 21 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:522580 CAPLUS Full-text

DOCUMENT NUMBER: 129:270006

TITLE: Oral and intraperitoneal treatment of Trypanosoma brucei brucei with a combination of ascofuranone and glycerol in mice

AUTHOR(S): Yabu, Yoshisada; Minagawa, Nobuko; Kita, Kiyoshi; Nagai, Kazuo; Honma, Masakatsu; Sakajo, Shigeru; Koide, Tatsuo; Ohta, Nobuo; Yoshimoto, Akio

CORPORATE SOURCE: Department of Medical Zoology, Medical School, Nagoya City University, Nagoya, 467-8601, Japan

SOURCE: Parasitology International (1998), 47(2), 131-137

CODEN: PAINFD; ISSN: 1383-5769

PUBLISHER: Elsevier Science Ireland Ltd.

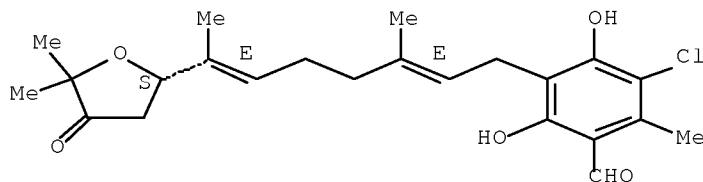
DOCUMENT TYPE: Journal

LANGUAGE: English

AB A suspension of ascofuranone (6-200 mg/kg) was given orally or i.p., and then glycerol (1 g/kg) was administered orally or i.p. at 30-min intervals to mice heavily parasitemic with T. brucei brucei. Both orally (100 mg/kg) and i.p. (25 mg/kg) administered ascofuranone, combined with a total dose of 3 g glycerol/kg, produced potent antitrypanosomal activity in infested mice. The trypanocidal activity of ascofuranone was very powerful, and all trypanosomes had disappeared within 30 and 180 min after final i.p. and oral treatment, resp. This combination treatment showed high efficacy and low toxicity. Ascofuranone in combination with glycerol may be an effective tool in chemotherapy for African trypanosomiasis.

IT 38462-04-3, Ascofuranone  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Trypanosoma brucei brucei infestation treatment by glycerol plus)  
 RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 22 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:37162 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 128:151573

TITLE: Biosynthesis of ascofuranone by the fungus  
 Paecilomyces variotii Bainier

AUTHOR(S): Terekhova, L. P.; Trenin, A. S.; Ozerskaya, S. M.;  
 Rudenskaya, Yu. A.; Maksimova, T. S.; Katrukha, G. S.;  
 Tolstykh, I. V.; Zenkova, V. A.; Fedorova, G. B.;  
 Potapova, N. P.; Kosykh, V. A.

CORPORATE SOURCE: Research Institute of New Antibiotics, Russian Academy  
 of Medical Sciences, Moscow, 119867, Russia

SOURCE: Microbiology (Moscow) (Translation of Mikrobiologiya) (1997), 66(5), 510-514

CODEN: MIBLAA; ISSN: 0026-2617

PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In the course of searching for microbial metabolites able to inhibit cholesterol biosynthesis, it was found that culture liquid of the fungal strain INA-199 isolated from a soil sample exhibited a pronounced hypolipidemic activity and inhibited [<sup>14</sup>C]acetate incorporation into cholesterol in a hepatoblastoma G2 cell culture. A complex of antibiotics was isolated from the culture liquid. The main component of the complex (F-199-A) was identified as ascofuranone, an antibiotic that had been discovered in *Ascochyta viciae* Libert. Strain INA-199, a new producer of ascofuranone, was assigned to the species *Paecilomyces variotii* Bainier.

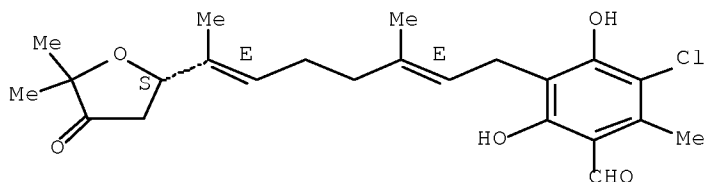
IT 38462-04-3P, Ascofuranone  
 RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)  
 (biosynthesis of ascofuranone by fungus *Paecilomyces variotii* Bainier)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-

tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

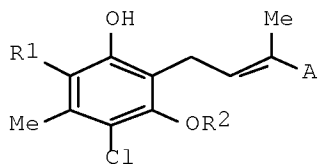


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

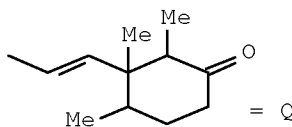
L26 ANSWER 23 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1997:453440 CAPLUS Full-text  
 DOCUMENT NUMBER: 127:156717  
 TITLE: Protozoacides containing isoprenoid antibiotics, ascochlorin, ascofuranone, or their derivatives  
 INVENTOR(S): Minagawa, Nobuko; Yabu, Yoshisada; Kita, Kiyoshi; Nagai, Kazuo; Hosokawa, Tomoyoshi  
 PATENT ASSIGNEE(S): Hosokawa, Tomoyoshi, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09165332	A	19970624	JP 1995-351093	19951215 <--
PRIORITY APPLN. INFO.:			JP 1995-351093	19951215 <--
OTHER SOURCE(S):	MARPAT 127:156717			

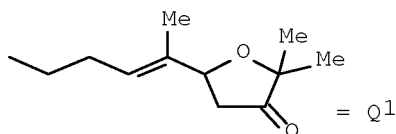
GI



I



= Q



= Q1

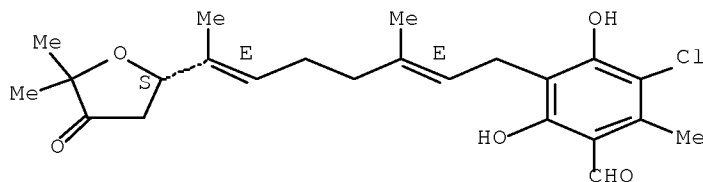
AB The protozoacides contain ascochlorins I [A = Q; R1 = CHO, CO<sub>2</sub>H; R2 = (CnH<sub>2n</sub>)R<sub>3</sub> (n = 1-5; R<sub>3</sub> = H, CO<sub>2</sub>R<sub>4</sub>; R<sub>4</sub> = H, C1-3 alkyl), COR<sub>5</sub> (R<sub>5</sub> = pyridyl, C1-3 alkylamino), halophenoxyalkyl, Ph substituted with C1-3 alkoxy or C1-3 alkoxy-carbonyl)] or ascofuranones I (A = Q1) as active ingredients. The protozoacides are useful for prevention and treatment of African trypanosomiasis and trypanosomiasis of domestic animals. Ascochlorin inhibited in vitro growth of circulating-form *Trypanosoma brucei brucei* in the presence of glycerin. .

IT 38462-04-3, Ascofuranone  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (protozoacides containing isoprenoid antibiotics, ascochlorin, ascofuranone, or their derivs.)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 24 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:179701 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 126:180891

TITLE: An antibiotic, ascofuranone, specifically inhibits respiration and in vitro growth of long slender bloodstream forms of *trypanosoma brucei brucei*. [Erratum to document cited in CA125:265065]

AUTHOR(S): Minagawa, Nobuko; Yabu, Yoshisada; Kita, Kiyoshi; Nagai, Kazuo; Ohta, Nobuo; Meguro, Keiichi; Sakajo, Shigeru; Yoshimoto, Akio

CORPORATE SOURCE: Department of Biochemistry, Niigata College of Pharmacy, Niigata, 950-21, Japan

SOURCE: Molecular and Biochemical Parasitology (1997), 84(2), 271-280  
 CODEN: MBIPDP; ISSN: 0166-6851

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

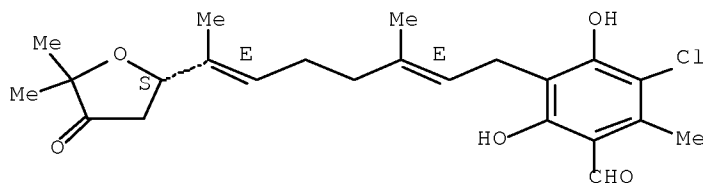
AB The errors were not reflected in the abstract or the index entries.

IT 38462-04-3, Ascofuranone  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (ascofuranone with glycerol inhibits respiration and in vitro growth of *Trypanosoma brucei brucei* (Erratum))

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 25 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:583098 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 125:265065

TITLE: An antibiotic, ascofuranone, specifically inhibits respiration and in vitro growth of long slender bloodstream forms of *Trypanosoma brucei brucei*  
AUTHOR(S): Minagawa, Nobuko; Yabu, Yoshisada; Kita, Kiyoshi; Nagai, Kazuo; Ohta, Nobuo; Meguro, Keiichi; Sakajo, Shigeru; Yoshimoto, Akio

CORPORATE SOURCE: Department of Biochemistry, Niigata College of Pharmacy, 5-13-2 Kamishin'ei-cho, Niigata, 950-21, Japan

SOURCE: Molecular and Biochemical Parasitology (1996), 81(2), 127-136  
CODEN: MBIPDP; ISSN: 0166-6851

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ascofuranone, a prenylphenol antibiotic isolated from a phytopathogenic fungus, *Ascochyta visiae*, strongly inhibited both glucose-dependent cellular respiration and glycerol-3-phosphate-dependent mitochondrial O<sub>2</sub> consumption of long slender bloodstream forms of *Trypanosoma brucei brucei*. This inhibition was suggested to be due to inhibition of the mitochondrial electron-transport system, composed of glycerol-3-phosphate dehydrogenase (EC 1.1.99.5) and plant-like alternative oxidase. Ascofuranone noncompetitively inhibited the reduced coenzyme Q1-dependent O<sub>2</sub> uptake of the mitochondria with respect to ubiquinol (K<sub>i</sub> = 2.38 nM). Therefore, the susceptible site is deduced to be the ubiquinone redox machinery which links the two enzyme activities. Further, ascofuranone in combination with glycerol completely blocked energy production, and potently inhibited the in vitro growth of the parasite. Our findings suggest that ascofuranone might be a promising candidate for the chemotherapeutic agents of African trypanosomiasis.

IT 38462-04-3, Ascofuranone

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

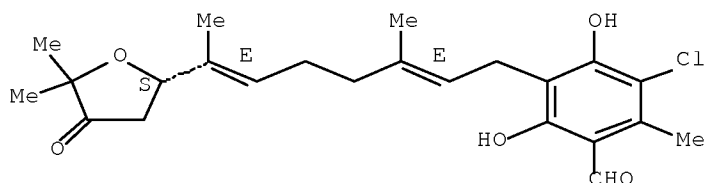
(ascofuranone with glycerol inhibits respiration and in vitro growth of *Trypanosoma brucei brucei*)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX

NAME)

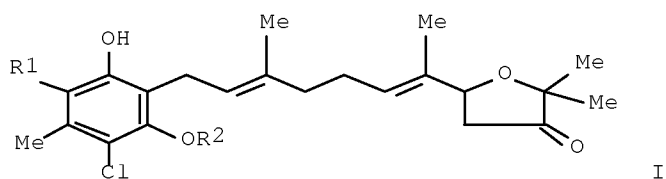
Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 26 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1995:916538 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 123:314214  
 TITLE: Preparation of ascofuranone derivatives as  
 hypolipemics  
 INVENTOR(S): Hosokawa, Tomoyoshi  
 PATENT ASSIGNEE(S): Imuno Japan Kk, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07206838	A	19950808	JP 1994-2729	19940114 <--
PRIORITY APPLN. INFO.:			JP 1994-2729	19940114 <--
OTHER SOURCE(S):	MARPAT	123:314214		

GI



AB Title compds. I [R1 = CHO, COOH; R2 = H, CH2COOH, CH2COOR3; R3 = C1-6 alkyl; with provisos] are prepared Thus, 4-O-carboxymethyl ascofuranone (II) was prepared via reacting ascofuranone with Me bromoacetate in DMF containing NaH and hydrolyzing the resulting 4-O-methoxycarboxymethyl ascofuranone. II at 8 mg/Kg p.o. for 7 days reduced the blood cholesterol in mice by 18%. Also, I [R1 = COOH, R2 = H] was obtained from ascofuranone using Pseudomonas ovalis culture.

IT 170293-45-SP

RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

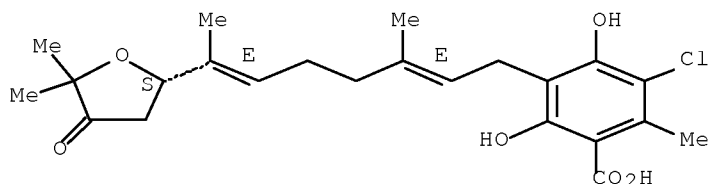
(preparation of ascofuranone derivs. as hypolipemics)

RN 170293-45-5 CAPLUS

CN Benzoic acid, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 38462-04-3, Ascofuranone

RL: RCT (Reactant); RACT (Reactant or reagent)

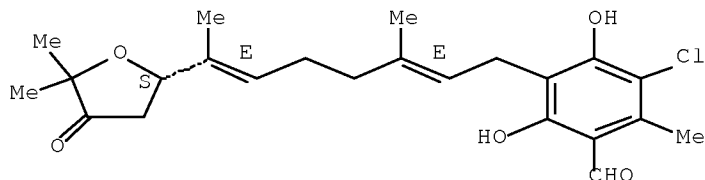
(preparation of ascofuranone derivs. as hypolipemics)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 27 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:818051 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 123:286332

TITLE: Total synthesis of dl-ascofuranone and related compounds

AUTHOR(S): Saimoto, Hiroyuki; Ohrai, Shin-ichiro; Sashiwa, Hitoshi; Shigemasa, Yoshihiro; Hiyama, Tamejiro

CORPORATE SOURCE: Fac. Eng., tottori Univ., Torrori, 680, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1995), 68(9), 2727-34

CODEN: BCSJA8; ISSN: 0009-2673

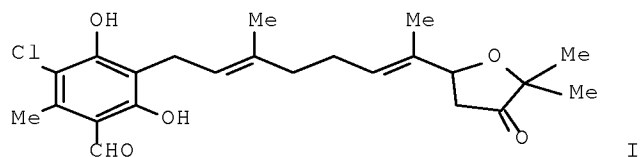
PUBLISHER: Nippon Kagakkai

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:286332

GI



AB Convergent synthesis of an antitumor protective agent, ascofuranone (I), was accomplished by (1) preparation of the terpenoid side chain having a furanone moiety, (2) coupling the side chain with a protected phenol derivative, and (3) deprotection to regenerate the hydroxyl groups. This strategy was successfully applied to the synthesis of oxidized and cyclized analogs of ascofuranone. Some of the ascofuranone derivs. were found to inhibit the growth of P388 leukemia cells.

IT 169564-43-6F

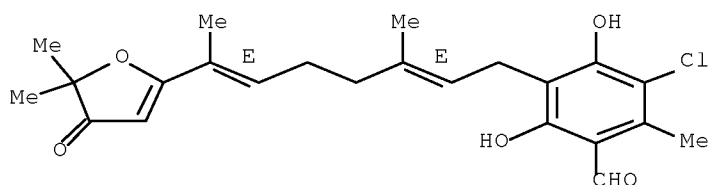
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(total synthesis of ascofuranone and related compds.)

RN 169564-43-6 CAPLUS

CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 86832-77-1F, (±)-Ascofuranone 105929-14-4F  
169564-37-8F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

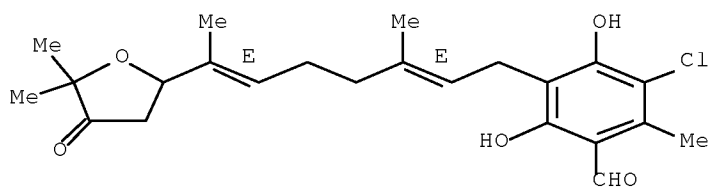
(total synthesis of ascofuranone and related compds.)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

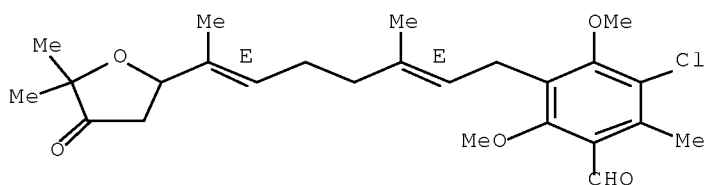




RN 105929-14-4 CAPLUS

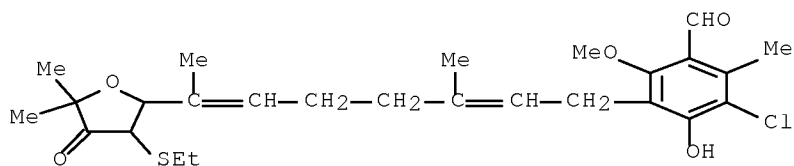
CN Benzaldehyde, 3-chloro-4,6-dimethoxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 169564-37-8 CAPLUS

CN Benzaldehyde, 3-chloro-5-[7-[3-(ethylthio)tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-3-methyl-2,6-octadienyl]-4-hydroxy-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)

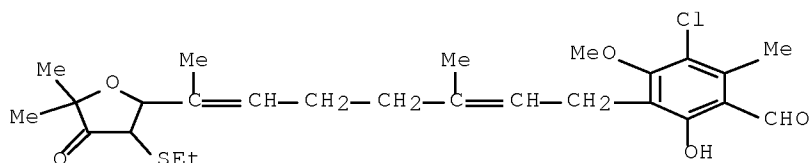


IT 169564-36-7P 169564-38-9P 169564-39-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(total synthesis of ascofuranone and related compds.)

RN 169564-36-7 CAPLUS

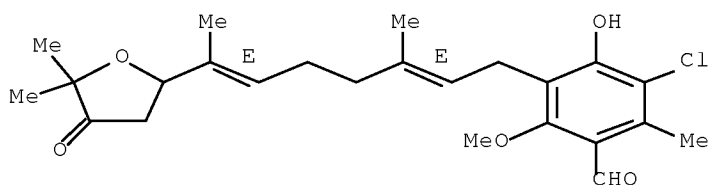
CN Benzaldehyde, 3-chloro-5-[7-[3-(ethylthio)tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-3-methyl-2,6-octadienyl]-6-hydroxy-4-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 169564-38-9 CAPLUS

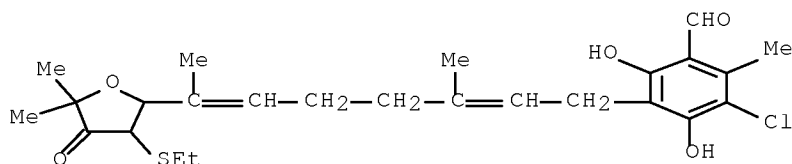
CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



RN 169564-39-0 CAPLUS

CN Benzaldehyde, 3-chloro-5-[7-[3-(ethylthio)tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)



L26 ANSWER 28 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:605717 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 121:205717

TITLE: Application of [3 + 2] nitrile oxide cycloaddition chemistry to the construction of retinoid skeleton and to a new formal synthesis of (±)-ascofuranone and geiparvarin

AUTHOR(S): Baraldi, Pier Giovanni; Bigoni, Angelica; Guarneri, Mario; Manfredini, Stefano; Pollini, Gian Piero; Simoni, Daniele

CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, 44100, Italy

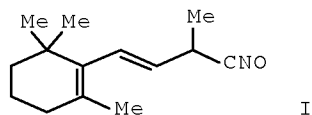
SOURCE: Farmaco (1993), 48(11), 1515-29

CODEN: FRMCE8; ISSN: 0014-827X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:205717  
GI



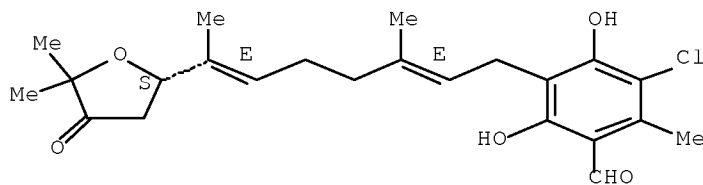
AB A flexible approach to the construction of the C-20 retinoid carbon skeleton involving the intermol. [3 + 2] cycloaddn. of the nitriles oxide I derived from a C-14 aldehyde component with (E)-HC.tplbond.CCMe:CHCH2OAc or (E,E)-CH2:CHCMe:CHCH2OAc, followed by Mo(CO)6-promoted ring opening of the derived 3,5-disubstituted isoxazoline or isoxazole ring systems, has been accomplished. The same strategy has been also successfully applied as a tool for the crucial carbon-carbon bond forming step to the formal synthesis of (±)-ascofuranone and to a new synthesis of geiparvarin.

IT 38462-04-3P, Ascofuranone  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(nitrile oxide cycloaddn. in preparation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

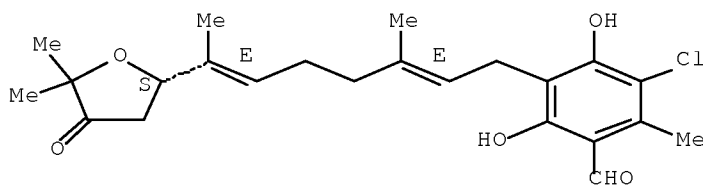


L26 ANSWER 29 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1994:548499 CAPLUS [Full-text](#)  
DOCUMENT NUMBER: 121:148499  
TITLE: Effects of ascofuranone on the mitochondria isolated from Hansenula anomala  
AUTHOR(S): Minagawa, Nobuko; Meguro, Keiichi; Sakajo, Shigeru; Yoshimoto, Akio  
CORPORATE SOURCE: Dep. Biochem., Niigata Coll. Pharmacy, Niigata, 950-21, Japan  
SOURCE: Bioscience, Biotechnology, and Biochemistry (1994), 58(7), 1334-5  
CODEN: BBBIEJ; ISSN: 0916-8451  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB An antitumor antibiotic, ascofuranone, selectively inhibited succinate- and NADPH-dependent O2 uptake activity of the mitochondria from H. anomala,

suggesting its interaction with the ubiquinone-reduction site of succinate dehydrogenase and external NADPH-dehydrogenase reactions. The action of ascofuranone on the mitochondria is clearly different from that of antimycin A, the Qi site inhibitor. Further investigation is required to elucidate the mechanism of involvement of respiratory inhibition in the cell differentiation induced by ascofuranone.

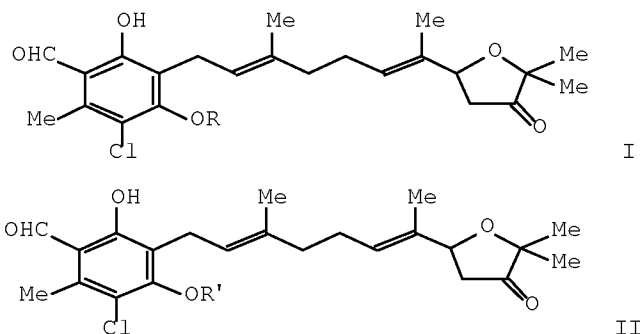
IT 38462-04-3, Ascofuranone  
 RL: BIOL (Biological study)  
 (mitochondria respiration inhibition by, cell differentiation induction in relation to)  
 RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 30 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1994:323916 CAPLUS Full-text  
 DOCUMENT NUMBER: 120:323916  
 TITLE: Ascofuranone, and hypolipidemic agent, hypoglycemic agent and glycation inhibitor each containing ascofuranone derivative as active ingredient  
 INVENTOR(S): Hosokawa, Tomoyoshi  
 PATENT ASSIGNEE(S): Immuno Japan Inc., Japan  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404520	A1	19940303	WO 1993-JP1135	19930811 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 3249124	B2	20020121	JP 1994-506100	19930811 <--
PRIORITY APPLN. INFO.:			JP 1992-214124	A 19920811 <--
			JP 1993-18904	A 19930205 <--
			JP 1993-18904U	U 19930205 <--
			WO 1993-JP1135	W 19930811 <--
OTHER SOURCE(S):			CASREACT 120:323916; MARPAT 120:323916	
GI				



AB Title compds. [I; R = H, alkylcarbonyl, pyridinylcarbonyl, (un)substituted benzoyl, etc.; II; R1 = alkylcarbonyl, pyridinylcarbonyl, etc.] are prepared I and II are excellent in hypoglycemic, hypolipidemic and glycation-inhibiting effects, thus being remarkably useful for preventing and treating diabetes, arteriosclerosis and so forth. Thus, ascofuranone in pyridine was reacted with isonicotinic acid chloride hydrochloride at 80-90° for 24 h to give I [R = 4-pyridylcarbonyl]. I [R = 3-(ethoxycarbonyl)propyl] showed 16.6% inhibition against glycation in an in vitro study using bovine serum albumin and glucose.

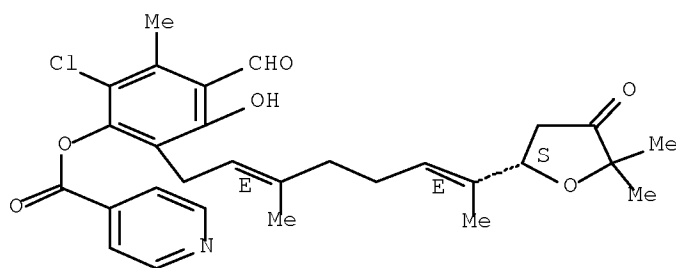
IT 155267-06-4P 155267-08-6P 155267-11-1P  
155267-12-2P 155267-13-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as drug)

RN 155267-06-4 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

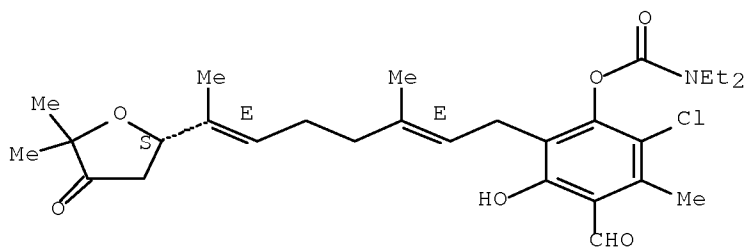
Absolute stereochemistry.  
Double bond geometry as shown.



RN 155267-08-6 CAPLUS

CN Carbamic acid, diethyl-, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

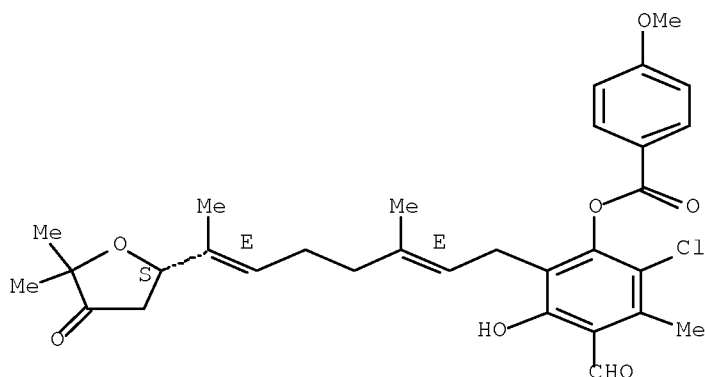
Absolute stereochemistry.  
Double bond geometry as shown.



RN 155267-11-1 CAPLUS

CN Benzoic acid, 4-methoxy-, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

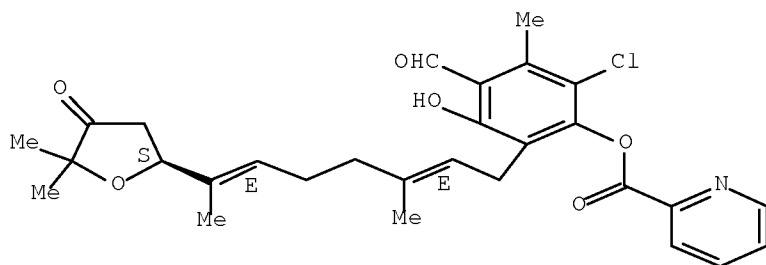
Absolute stereochemistry.  
Double bond geometry as shown.



RN 155267-12-2 CAPLUS

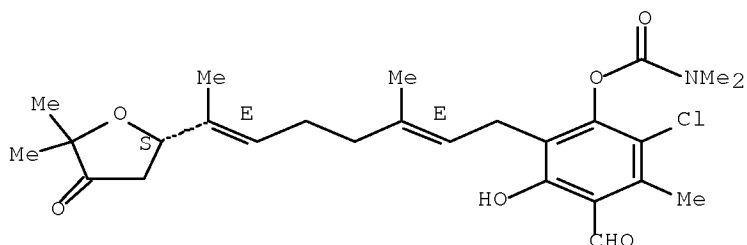
CN 2-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



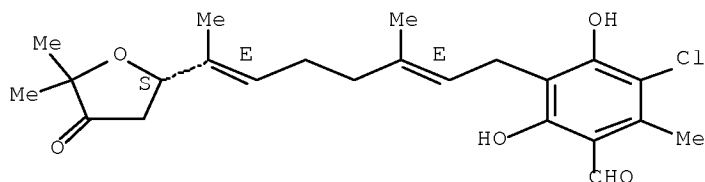
RN 155267-13-3 CAPLUS  
 CN Carbamic acid, dimethyl-, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



IT 38462-04-3, Ascofuranone  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with isonicotinic acid chloride hydrochloride in preparation of drugs)  
 RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 31 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1994:22988 CAPLUS Full-text  
 DOCUMENT NUMBER: 120:22988  
 TITLE: Effects of microbial products on glucose consumption and morphology of macrophages  
 AUTHOR(S): Magae, Junji; Munemura, Kazuko; Ichikawa, Chiyo; Osada, Kazuko; Hanada, Toshihiko; Tsuji, Ryohei F.; Yamashita, Masahiro; Hino, Ayako; Horiuchi, Tatsuo; et al.  
 CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227, Japan  
 SOURCE: Bioscience, Biotechnology, and Biochemistry (1993), 57(10), 1628-31  
 CODEN: BBBIEJ; ISSN: 0916-8451  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

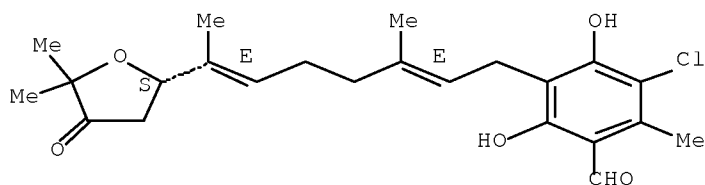
AB The authors studied the effects of microbial products on glucose consumption and morphol. of macrophages which were elicited with thioglycollate medium. Macromols. such as lipopolysaccharide (LPS), tumor promoters, and respiratory inhibitors increased macrophage glucose consumption without inducing evident morphol. changes. The assay system was used to screen for active substances in culture broth exts. from actinomycetes. Among them, aureothin increased glucose consumption of macrophages and inhibited respiration of a rat mitochondrial fraction. Concanamycin A induced morphol. changes of macrophages into needle-like shapes but not of cloned cells including the macrophage-like cells J774.1. This compound changed fibrosarcoma L929 cells into round shapes without affecting the shape of a nontransformed fibroblast, BALB/3T3 cells. Antimycin and concanamycin A increased tumor-killing activity of macrophages when added during the effector phase. These results suggest that this assay system is simple and sufficiently reproducible and thus usable for screening for modulators of macrophage function among natural products.

IT 38462-04-3, Ascofuranone  
 RL: ANST (Analytical study)  
 (macrophage glucose consumption and morphol. response to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 32 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1992:255825 CAPLUS Full-text  
 DOCUMENT NUMBER: 116:255825  
 TITLE: Geiparvarin Analogs. 3. Synthesis and cytostatic activity of 3(2H)-furanone and 4,5-dihydro-3(2H)-furanone congeners of geiparvarin, containing a geraniol-like fragment in the side chain.

AUTHOR(S): Baraldi, Pier G.; Manfredini, Stefano; Simoni, Daniele; Tabrizi, Mojgan Aghazadeh; Balzarini, Jan; De Clercq, Erik

CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, I-44100, Italy

SOURCE: Journal of Medicinal Chemistry (1992), 35(10), 1877-82  
 CODEN: JMCMAR; ISSN: 0022-2623

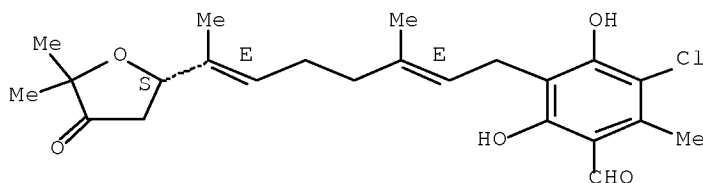
DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 116:255825  
 GI



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB In a continuing study on the structural features of geiparvarin (I), responsible for cytostatic activity, a series of 4,5-dihydro-3(2H)-furanones II (R = 2,6-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, Ph,  $\beta$ -naphthyl, 3-, 4-MeOC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub>) and of 3(2H)-furanones III as well as 2'',3''-dihydrogeiparvarin (IV) were designed and synthesized. Their cytostatic activity was evaluated against proliferation of murine (L1210, FM3A) and human (Raji, Molt/4F, and MT4) tumor cells. Modifications in the region of the olefinic double bond by introduction of the characteristic alkenyl side chain of ascofuranone (II and III) markedly decreased the cytostatic activity as compared to geiparvarin itself, but this effect does not seem to be correlated to the presence of the furanone moiety linked to the alkenyl chain or to the ability to afford Michael type adducts. Replacement of the coumarin portion by other aromatic rings did not alter the cytostatic activity. The essential inactivity of IV points to the importance of the 3(2H)-furanone ring system in the cytostatic activity; consequently, this moiety may be considered as the determinant pharmacophore for antitumor activity, while the side chain plays a rather modulatory role.
- IT 38462-04-3DP, Ascofuranone, analogs  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and cytostatic activity of)
- RN 38462-04-3 CAPLUS
- CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 33 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1991:206905 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 114:206905  
 TITLE: A [3+2] nitrile oxide intermolecular cycloaddition approach to 4,5-dihydro-3(2H)-furanone and 3(2H)-furanone ring systems: application to the formal synthesis of ( $\pm$ )-ascofuranone and geiparvarin  
 AUTHOR(S): Tabrizi, M. Aghazade; Baraldi, P. G.; Guarneri, M.; Manfredini, S.; Pollini, G. P.; Simoni, D.  
 CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, I-44100, Italy  
 SOURCE: Tetrahedron Letters (1991), 32(5), 683-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 114:206905

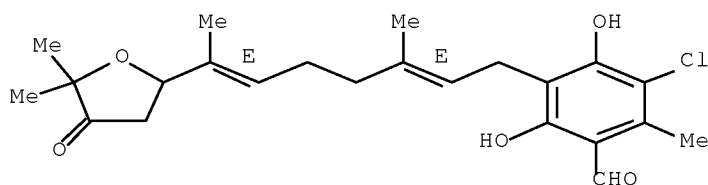
AB A unified approach to both 4,5-dihydro-3(2H)-furanone and 3(2H)-furanone ring systems centered on a [3+2] nitrile oxide cycloaddn. for the C-C bond forming steps has been successfully applied to the synthesis of (±)-ascofuranone and geiparvarin from common intermediates.

IT 86832-77-1E, (±)-Ascofuranone  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 34 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:81457 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 114:81457

TITLE: Enantioselective transformation of propargyl esters to dihydrofurans

AUTHOR(S): Shigemasa, Yoshihiro; Yasui, Masaru; Ohrai, Shinichiro; Sasaki, Makoto; Sashiwa, Hitoshi; Saimoto, Hiroyuki

CORPORATE SOURCE: Fac. Eng., Tottori Univ., Tottori, 680, Japan

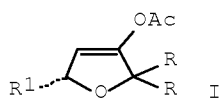
SOURCE: Journal of Organic Chemistry (1991), 56(3), 910-12  
 CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:81457

GI



AB Transformation of enantiomerically enriched propargyl esters AcOCHR1C.tplbond.CCR2OH [R = Me, RR = (CH2)5; R1 = Me, Ph, n-pentyl] into dihydrofurans I with complete enantiospecificity is achieved by Ag(I)-catalyzed rearrangement and cyclization, and the sequence is successfully applied to the enantioselective synthesis of an antitumor protective and hypolipidemic antibiotic, (S)-(-)-ascofuranone.

IT 38462-04-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

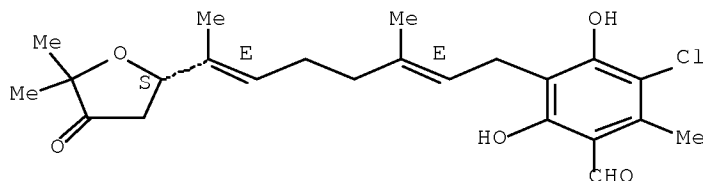
(preparation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 35 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:224865 CAPLUS Full-text

DOCUMENT NUMBER: 110:224865

TITLE: Antitumorigenic effect of ascofuranone

AUTHOR(S): Magae, Junji; Nagai, Kazuo

CORPORATE SOURCE: Fac. Agric., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Kagaku to Seibutsu (1989), 27(4), 212-14

CODEN: KASEAA; ISSN: 0453-073X

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Japanese

AB A review with 5 refs. on the antitumor mechanism of ascofuranone. Phagocytes such as macrophages are activated selectively by ascofuranone.

IT 38462-04-3, Ascofuranone

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

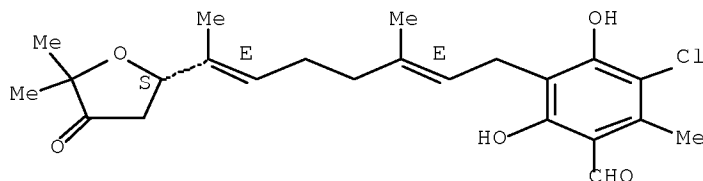
(neoplasm inhibition by, mechanism of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 36 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:69064 CAPLUS Full-text

DOCUMENT NUMBER: 110:69064

TITLE: Differentiation of mouse and human myeloid leukemia cells induced by an antitumor antibiotic, ascofuranone

AUTHOR(S): Magae, Junji; Nagai, Kazuo; Ando, Kunio; Tamura, Gakuzo

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Agricultural and Biological Chemistry (1988), 52(12), 3143-7  
CODEN: ABCHA6; ISSN: 0002-1369

DOCUMENT TYPE: Journal

LANGUAGE: English

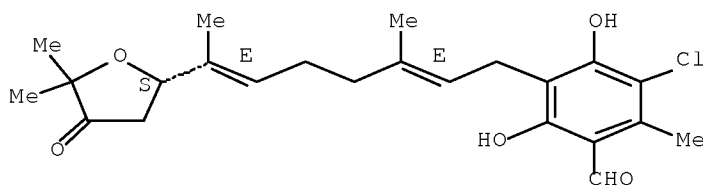
AB Mouse myeloid leukemia cells M1 were induced to differentiate into phagocytes by treatment with ascofuranone (AF). AF also induced differentiation of human promyelocytic leukemia HL60 cells and human erythroid leukemia K562 cells into granulocytes and erythrocytes, as detected by nitroblue tetrazolium-reducing activity and benzidine staining, resp. The antibiotic enhanced acetate incorporation by K562 cells. The increase was not observed with the cells of HL60 and two human B lymphoma lines, Daudi and Raji. The increase was diminished by the addition of the glycolysis inhibitor deoxyglucose. Inhibitors of respiration, antimycin and NaN<sub>3</sub>, also enhanced acetate incorporation by K562 cells; this was diminished by the addition of deoxyglucose. Antimycin induced differentiation of K562 and HL60 cells. There is a possible relationship between cell differentiation and inhibition of respiration.

IT 38462-04-3, Ascofuranone  
RL: PRP (Properties)  
(antileukemic effect of, cell differentiation and respiration and acetate uptake in)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 37 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:522101 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 109:122101

TITLE: Antitumor and antimetastatic activity of an antibiotic, ascofuranone, and activation of phagocytes

AUTHOR(S): Magae, Junji; Hayasaki, Junichi; Matsuda, Yuko; Hotta, Mitsuyuki; Hosokawa, Tomoyoshi; Suzuki, Seikichi; Nagai, Kazuo; Ando, Kunio; Tamura, Gakuzo

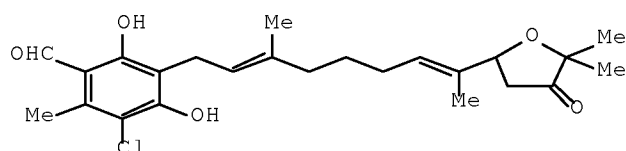
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Journal of Antibiotics (1988), 41(7), 959-65  
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Ascofuranone (I) had antitumor activity against FM3A murine mammary carcinoma, implanted in the peritoneal cavity of syngeneic mice. It was more effective with treatment prior to implantation than with that after implantation. Treatment with ascofuranone also increased splenic cytotoxicity and phagocytic activity of host animal cells. Moreover, ascofuranone induced inflammatory cells, mainly polymorphonuclear leukocytes and macrophages in the peritoneal cavity. These cells were more cytotoxic to FM3A cells than to resident peritoneal cells. The antitumor activity of ascofuranone was suppressed by i.p. administration of silica, just prior to tumor implantation. Thus, the prophylactic antitumor activity of ascofuranone is expressed through the activation of phagocytes.

IT 38462-04-3, Ascofuranone

RL: BIOL (Biological study)

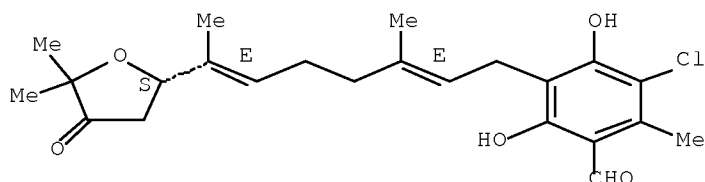
(neoplasm and metastasis inhibition by, phagocyte activation in)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 38 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:167286 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 108:167286

TITLE: Preparation of furanone derivatives as antitumor agents

INVENTOR(S): Hyama, Tamejiro; Saimoto, Hiroyuki

PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

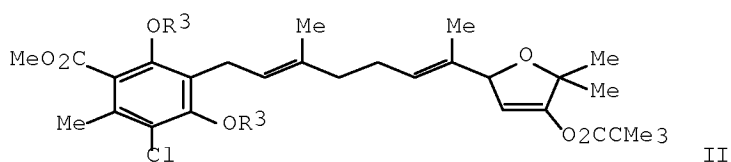
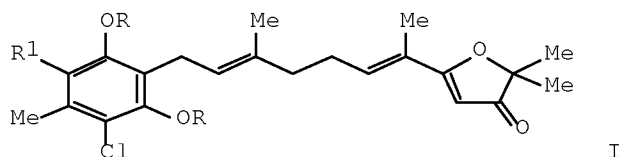
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62181271	A	19870808	JP 1986-23049	19860206 <--
PRIORITY APPLN. INFO.:			JP 1986-23049	19860206 <--

GI



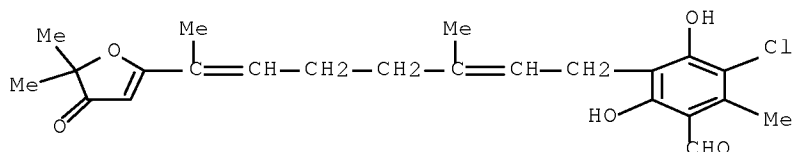
AB Furanone derivs. I (R = H, protecting group; R1 = CHO, CO2H, alkoxycarbonyl), useful as antitumor agents, are prepared A solution of II (R3 = Me3SiCH2CH2OCH2) in C6H6 was treated with DDQ at room temperature to give 50% I (R = Me3SiCH2CH2OCH2, R1 = CO2Me) (III). III was reduced by LiAlH4 in ether to afford 95% I (R = Me3SiCH2CH2OCH2, R1 = CHO), which showed IC50 of 3.7 + 10-3 μmol/mL against leukemia P388 cells, vs. 3.0 + 10-2 μmol/mL for ascofuranone.

IT 113899-01-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as antitumor agent)

RN 113899-01-7 CAPLUS

CN Benzaldehyde, 3-chloro-5-[7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)



L26 ANSWER 39 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:141095 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 108:141095

TITLE: Structure of 4-O-ethylascofuranone

AUTHOR(S): Nawata, Yoshiharu; Sasaki, Hiroshi; Ochi, Kiyoshige; Ando, Kunio

CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co. Ltd., Tokyo, 171, Japan

SOURCE: Acta Crystallographica, Section C: Crystal Structure  
Communications (1988), C44(2), 383-4  
CODEN: ACSCEE; ISSN: 0108-2701

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The title compound is orthorhombic, space group P212121, with a 11.724(1), b 34.626(2), and c 6.122(1) Å; Z = 4 for dc = 1.200. The final R = 0.050 for 2423 reflections. The compound has a round mol. conformation, turning at the middle of the sesquiterpenoid moiety. The intramol. van der Waals contacts, observed at the center of the mol., stabilize the conformation. Atomic coordinates are given.

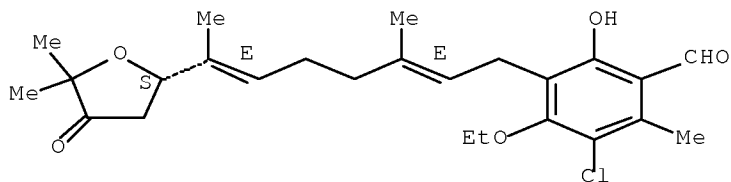
IT 55968-32-6

RL: PRP (Properties)  
(crystal structure of)

RN 55968-32-6 CAPLUS

CN Benzaldehyde, 3-chloro-4-ethoxy-6-hydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 40 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:37645 CAPLUS Full-text

DOCUMENT NUMBER: 108:37645

TITLE: Preparation of (furanyl)pentenylchromene derivatives  
as anticancer agents

INVENTOR(S): Hyama, Tamejiro; Saimoto, Hiroyuki

PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

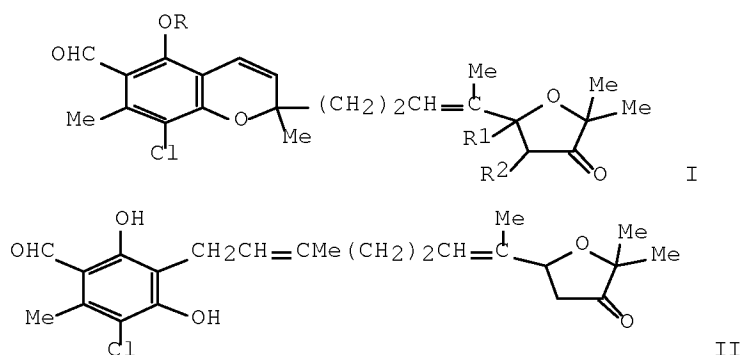
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62181276	A	19870808	JP 1986-23050	19860206 <--
PRIORITY APPLN. INFO.:			JP 1986-23050	19860206 <--

GI



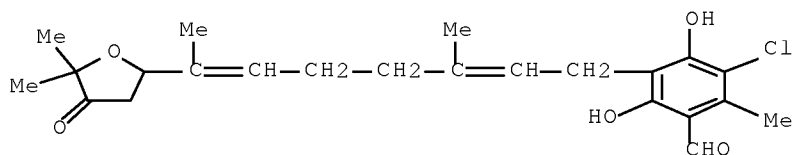
AB The title compds. I (R = H, hydroxy-protecting group; R1, R2 = H or R1R2 = bond), useful as anticancer agents, were prepared A mixture of 3.8 mg ascofuranone II (preparation given) and 4.1 mg DDQ in 0.5 mL C6H6 was stirred at 80° for 50 min to give 0.7 mg (tetrahydrofuranyl)pentenylchromene derivative I (R = R1 = R2 = H) and (dihydrofuranyl)pentenylchromene derivative I (R = H; R1R2 = bond) (III). III in vitro inhibited mouse lymphatic leukemic cells (p388) with an IC50 of 4.0 + 10<sup>-3</sup> μmol/mL.

IT 112137-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of)

RN 112137-36-7 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]- (9CI) (CA INDEX NAME)



L26 ANSWER 41 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:477421 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 107:77421

TITLE: Deprotection of aryloxy acetals by P2I4

INVENTOR(S): Hiyama, Tamejiro; Saimoto, Hiroyuki; Kusano, Yukari

PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

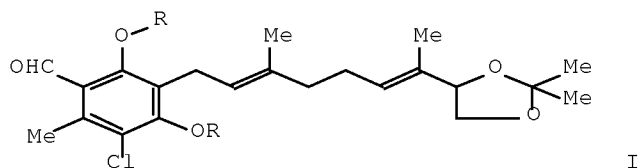
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62036334	A	19870217	JP 1985-173310	19850808 <--



PRIORITY APPLN. INFO.:  
GI

JP 1985-173310

19850808 &lt;--



AB Ar(OH)<sub>n</sub> [Ar = (substituted) aromatic group; n = 1 to m (m = maximum number of H on Ar)], e.g. virucidal, anticancer, and antihypertensive (no data) I (R = H) (II), were prepared by deprotection of Ar(OR)<sub>n</sub> (R =  $\alpha$ -alkoxyalkyl) with P2I4. A solution of 12 mg I [R = CH<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>SiMe<sub>3</sub>] (preparation given) in CH<sub>2</sub>Cl<sub>2</sub> was treated with 8 mg P2I4 at 0°, and then 0.2 mL aqueous saturated NaCl to give 40% II.

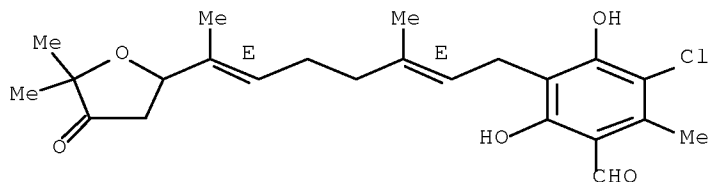
IT 86832-77-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, by deprotection of aryloxy acetal derivative with tetraiododiphosphine)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 42 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:175860 CAPLUS Full-text

DOCUMENT NUMBER: 106:175860

TITLE: A mild procedure for hydrolysis of alkoxymethyl aryl ethers to give hydroxyarenes. A rational synthesis of ascofuranone

AUTHOR(S): Saimoto, Hiroyuki; Kusano, Yukari; Hiyama, Tamejiro

CORPORATE SOURCE: Sagami Chem. Res. Cent., Kanagawa, 229, Japan

SOURCE: Tetrahedron Letters (1986), 27(14), 1607-10

CODEN: TELEAY; ISSN: 0040-4039

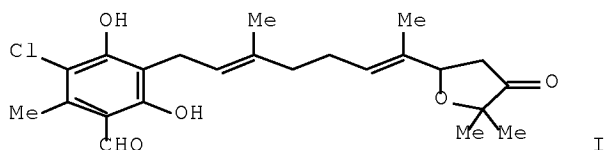
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:175860

GI



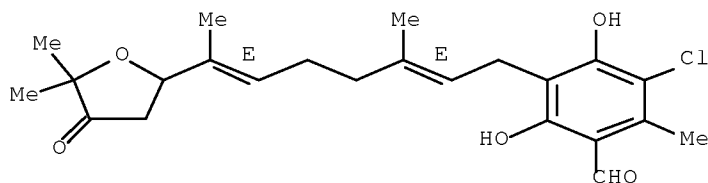
AB A mild procedure is reported for cleavage of alkoxyethyl aryl ethers with P2I4 to afford hydroxyarenes, and this deprotection method was successfully applied to the synthesis of the antibiotic ascofuranone (I).

IT 86832-77-1P, (±)-Ascofuranone  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 43 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:168625 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 106:168625

TITLE: Macrophage-specific effect on lipid metabolism by an antibiotic, ascofuranone

AUTHOR(S): Magae, Junji; Nagai, Kazuo; Suzuki, Seikichi; Yamasaki, Makari; Ando, Kunio; Tamura, Gakuzo

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Journal of Antibiotics (1987), 40(2), 202-8  
 CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ascofuranone (AF) [38462-04-3] enhanced glucose consumption by splenocytes and macrophages, whereas it enhanced incorporation of acetate into macrophages but not into splenocytes. In tumor cell lines, it inhibited the incorporation of [14C]acetate into lymphoma cell lines, YAC-1 and P388, and a thymoma, L5178Y, while it stimulated that into P388D1, which is derived from P388 and has macrophage-like characteristics. Incorporation of [14C]acetate into a mammary carcinoma FM3A was also stimulated by AF. In contrast, AF stimulated uptake of methylglucose in all cell lines tested. The effect of AF was further studied in mouse myeloid leukemia, M1 cells. AF slightly stimulated the incorporation of [14C]acetate into undifferentiated M1 cells, and strongly stimulated it into hydrocortisone-differentiated M1 cells. Glucose consumption by these 3 types of M1 cells was stimulated. Apparently, AF

specifically stimulates the incorporation of [ $^{14}\text{C}$ ]acetate into macrophages while it generally stimulates glucose uptake by the cells.

IT 38462-04-3, Ascofuranone

RL: BIOL (Biological study)

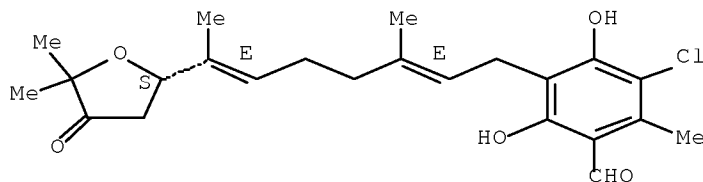
(lipid metabolism by macrophages response to, specificity of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 44 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:113170 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 106:113170

TITLE: Suppression of hypertriglyceridemia of Ehrlich carcinoma-bearing mice by an antibiotic, ascofuranone

AUTHOR(S): Magae, Junji; Hosokawa, Tomoyoshi; Matsuda, Yuko; Hotta, Mitsuyuki; Hayasaki, Junichi; Nagai, Kazuo; Ando, Kunio; Yamasaki, Makari; Tamura, Gakuzo

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

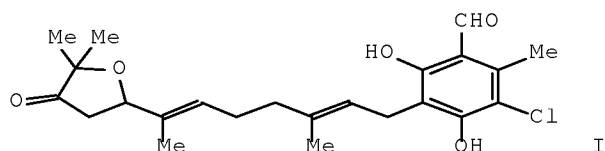
SOURCE: Cancer Research (1987), 47(1), 96-9

CODEN: CNREA8; ISSN: 0008-5472

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

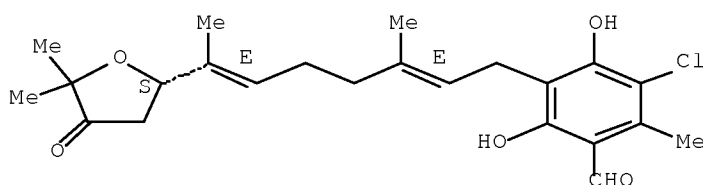


AB Ehrlich ascites carcinoma-bearing mice exhibit hypertriglyceridemia. An antitumor antibiotic, ascofuranone (I) [38462-04-3], suppressed tumor-induced hypertriglyceridemia when administered i.p., even when no evident antitumor activity was observed, without affecting the levels of free fatty acids, phospholipids, cholesterol, glucose, and total protein in plasma. Ascofuranone did not reduce plasma triglycerides of normal mice. Insulin and clofibrate, known modifiers of lipid metabolism, gave no significant suppression. Ascofuranone was also effective on solid tumor-induced hypertriglyceridemia. Another notable change of metabolism affected by tumor

bearing in the early stage where hypertriglyceridemia has not yet fully progressed is hypoglycemia. Although ascofuranone did not affect hypoglycemia, the suppressive effect on hypertriglyceridemia was more evident when ascofuranone was administered in the early stage than in the later stage. These results suggest that ascofuranone suppresses hypertriglyceridemia by specifically affecting the changes of host metabolism which are induced in the early stage of tumor bearing.

IT 38462-04-3, Ascofuranone  
 RL: BIOL (Biological study)  
 (neoplasm-induced hypertriglyceridemia suppression by)  
 RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

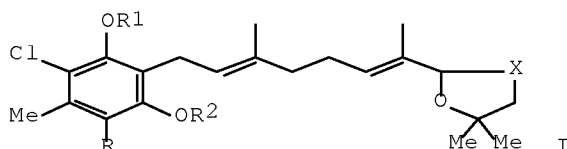
Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 45 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1987:18071 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 106:18071  
 TITLE: Preparation of benzene derivatives containing six substituents as pharmaceuticals  
 INVENTOR(S): Hiyama, Tamejiro; Saimoto, Hiroyuki  
 PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61152665	A	19860711	JP 1984-274140	19841227 <--
PRIORITY APPLN. INFO.:			JP 1984-274140	19841227 <--

GI



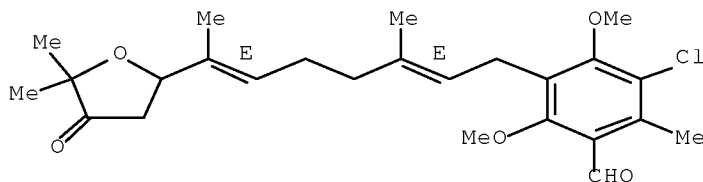
AB The title compds. (I; R = alkoxy carbonyl, hydroxymethyl; R1 and R2 = alkyl; X = CH: C(OCOR3), CH2CH(OH), or CH2CO; R3 = alkyl) are prepared. The compds. may be effective in treating hypertension, viral infections, and tumors (no data). Thus, Me 3-bromo-5-chloro-2,4-dimethoxy-6-methylbenzoate was treated with 5-[(E,E)-7-bromo-1,5-dimethylhepta-1,5-dienyl]-2,2-dimethyl-3-pivaloyloxy-2,5-dihydrofuran to give Me 3-chloro-4,6-dimethoxy-5-[(E,E)-7-(5,5-dimethyl-4-pivaloyloxy-2,5-dihydro-2-furanyl)-3-methyl-2,6-octadienyl]-2-methylbenzoate and Me 3-chloro-4,6-dimethoxy-5-[(E,E)-7-(5,5-dimethyl-4-oxotetrahydro-2-furanyl)-3-methyl-2,6-octadienyl]-2-methylbenzoate.

IT 105929-14-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of, as pharmaceutical)

RN 105929-14-4 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dimethoxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 46 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:442517 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 105:42517

TITLE: Synthesis of fungal metabolites and related compounds: colletochlorin D, ascofuranone and geiparvarin

AUTHOR(S): Chen, Kau Ming

CORPORATE SOURCE: Univ. Pennsylvania, Pittsburgh, PA, USA

SOURCE: (1985) 296 pp. Avail.: Univ. Microfilms  
 Int., Order No. DA8515356  
 From: Diss. Abstr. Int. B 1986, 46(7), 2306

DOCUMENT TYPE: Dissertation

LANGUAGE: English

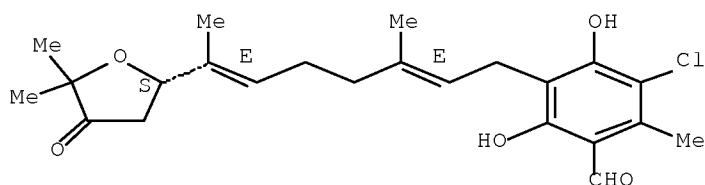
AB Unavailable

IT 38462-04-3P  
 RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of)

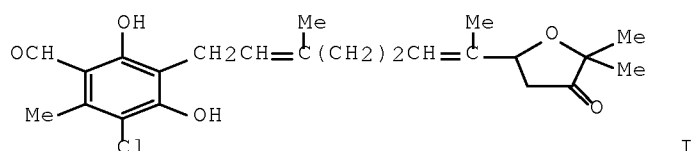
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 47 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1986:435260 CAPLUS Full-text  
 DOCUMENT NUMBER: 105:35260  
 TITLE: Activation of natural cytotoxic activity and  
 concomitant reduction of triglyceride content of  
 murine spleen, treated with an antitumor antibiotic,  
 ascofuranone  
 AUTHOR(S): Magae, Junji; Hotta, Mitsuyuki; Nagai, Kazuo; Suzuki,  
 Seikichi; Ando, Kunio; Yamasaki, Makari; Tamura,  
 Gakuzo  
 CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan  
 SOURCE: Journal of Antibiotics (1986), 39(5), 676-81  
 CODEN: JANTAJ; ISSN: 0021-8820  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



I

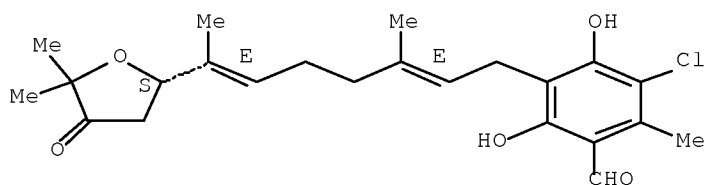
AB Ascofuranone (AF) (I) [38462-04-3] elevated natural cytotoxic activity of spleen when it was administered i.p. to male mice. The elevation was observed both in low and high responder mice. AF-activated splenocytes lysed natural killer (NK) cell-resistant tumor cells, M3A, P388, and sarcoma 180 cells as well as NK-sensitive YAC-1 cells. However, AF suppressed other lymphatic functions such as mitogenic responses and interleukin 2 production. Because AF did not activate splenic NK activity in vitro, the activation was assumed to be caused by a host-mediated process. One of the possibilities is modulation of the lipid metabolism of splenocytes. Thus, splenic lipid contents were examined. AF decreased splenic triglycerides without affecting other lipids. In contrast, the antibiotic increased triglyceride contents of muscle.

IT 38462-04-3  
 RL: BIOL (Biological study)  
 (cytotoxic natural killer cells and triglycerides of spleen response to)

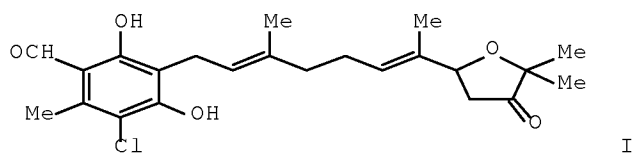
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

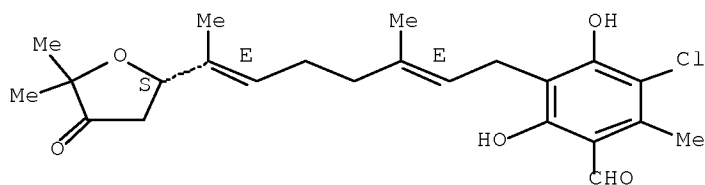


L26 ANSWER 48 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1986:207464 CAPLUS Full-text  
 DOCUMENT NUMBER: 104:207464  
 TITLE: The total synthesis of ascofuranone  
 AUTHOR(S): Saimoto, Hiroyuki; Hiyama, Tamejiro  
 CORPORATE SOURCE: Sagami Chem. Res. Cent., Japan  
 SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1985), 27th, 290-4  
 CODEN: TYKYDS  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: Japanese  
 GI



AB A review with 11 refs. on the total synthesis of ascofuranone I, an antitumor hypolipidemic antibiotic isolated from the mycelium of *Ascochyta viciae*.  
 IT 38462-04-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of)  
 RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 49 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:179819 CAPLUS Full-text

DOCUMENT NUMBER: 104:179819

TITLE: In vitro effects of an antitumor antibiotic, ascofuranone, on the murine immune system

AUTHOR(S): Magae, Junji; Suzuki, Seikichi; Nagai, Kazuo; Yamasaki, Makari; Ando, Kunio; Tamura, Gakuzo

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Cancer Research (1986), 46(3), 1073-8

CODEN: CNREA8; ISSN: 0008-5472

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of an antitumor antibiotic, ascofuranone (AF) [ 38462-04-3] on the murine immune system were studied. Unlike lectins, AF did not induce any proliferative response of splenocytes. Furthermore, AF inhibited proliferative response of splenocytes in response to lectins, such as concanavalin A [11028-71-0], lipopolysaccharide, or phytohemagglutinin above 5 µg/mL. In concanavalin A-induced T-lymphocyte response, AF selectively inhibited the formation of interleukin 2 (IL-2) receptors, which was observed above 0.4 µg/mL. The inhibitory effect on the proliferative response to IL-2 of T-lymphocytes, which had IL-2 receptors, was observed above 10 µg/mL. IL-2 production of splenocytes in response to concanavalin A was also suppressed by AF above 2 µg/mL and only 3% of IL-2 was produced in the presence of AF, 10 µg/mL. However, AF-activated macrophages and their glycolysis was stimulated. Activation of macrophages by AF was also confirmed by stimulation of interleukin 1 production and tumoricidal activity. Natural killer activity of splenocytes was suppressed at the concentration where significant activation of tumoricidal activity of macrophages was observed. Therefore, AF had a dual effect on the immune system. Macrophages were activated to produce interleukin 1 and to kill tumor cells. On the other hand, functions of lymphocytes were suppressed.

IT 38462-04-3

RL: BIOL (Biological study)

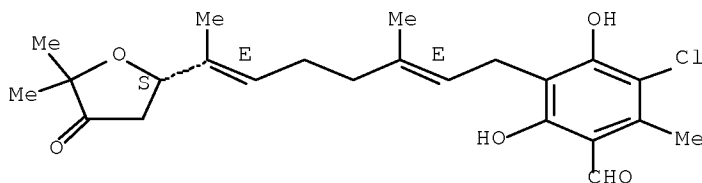
(immune system response to, host-mediated antitumor activity mechanism in relation to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 50 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:68654 CAPLUS Full-text



GT



IT

RL: SPN (Synthetic preparation); PREP (Preparation)  
(total synthesis of)

CN

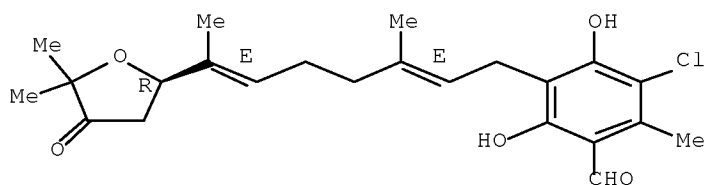
Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

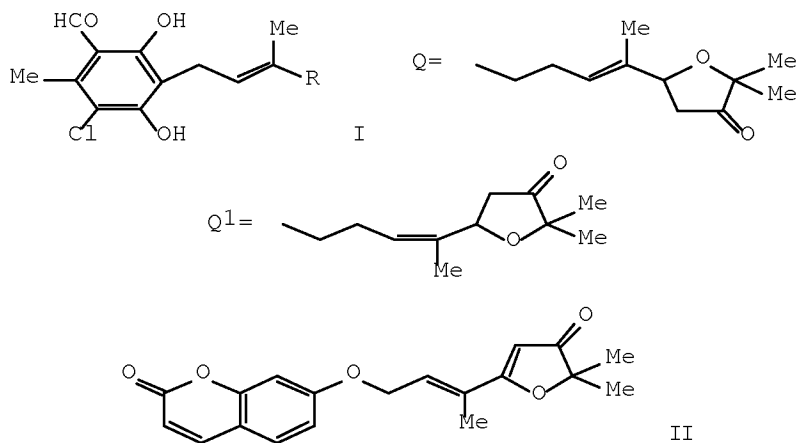
CN

Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [R-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



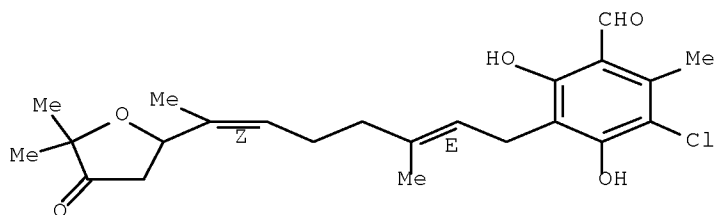
L26 ANSWER 51 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1985:560720 CAPLUS Full-text  
 DOCUMENT NUMBER: 103:160720  
 ORIGINAL REFERENCE NO.: 103:25817a,25820a  
 TITLE: Total syntheses of fungal metabolites and functionalized furanones  
 AUTHOR(S): Chen, Kau Ming; Semple, J. Edward; Joullie, Madeleine M.  
 CORPORATE SOURCE: Dep. Chem., Univ. Pennsylvania, Philadelphia, PA, 19104, USA  
 SOURCE: Journal of Organic Chemistry (1985), 50(21), 3997-4005  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 103:160720  
 GI



AB Fungal metabolites colletochlorin D (I, R = Me) and (±)-ascofuranone (I, R = Q) and its stereoisomers (I, R = Q1) were prepared Moreover, geiparvarin (II) in nine-step and 22% overall yield.  
 IT 98167-44-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 98167-44-3 CAPLUS

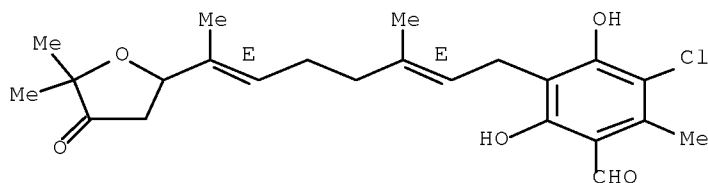
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (Z,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 86832-77-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of)  
 RN 86832-77-1 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

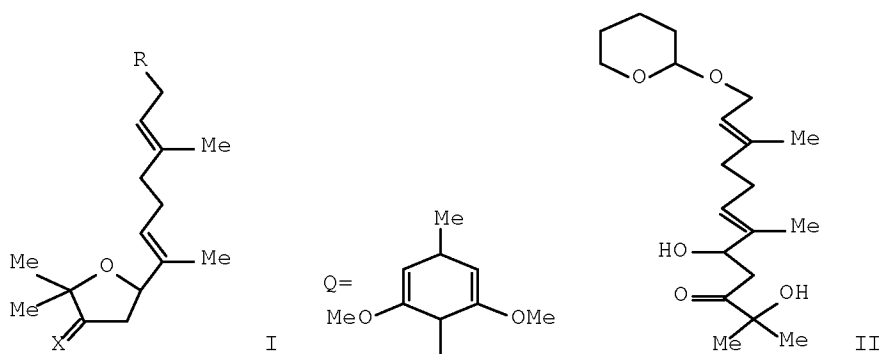
Double bond geometry as shown.



L26 ANSWER 52 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1985:113756 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 102:113756  
 ORIGINAL REFERENCE NO.: 102:17887a,17890a  
 TITLE: Ascofuranone-related compounds  
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59148774	A	19840825	JP 1983-21202	19830210 <--
JP 04028705	B	19920515		
PRIORITY APPLN. INFO.:			JP 1983-21202	19830210 <--

GI



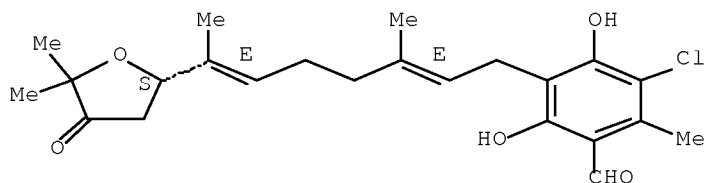
AB Ten ascofuranone-related compds. I (X = O, OCH<sub>2</sub>CH<sub>2</sub>O; R = tetrahydropyranyloxy, OH, OAc, Br, Q, etc.) were prepared from the hydroxy ketone II. Thus, stirring 17.5 g II with 2.48 mL MeOH and 72 mg TsOH H<sub>2</sub>O in (MeO)<sub>3</sub>CH 8 h at room temperature gave 52.9% I (R = tetrahydropyranyloxy, X = O), which was converted to I [R = 2,3,4,5,6-(HO)(HCO)MeCl(HO)C<sub>6</sub>, X = OCH<sub>2</sub>CH<sub>2</sub>O] in 9 steps.

IT 38462-04-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 53 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:62453 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 102:62453

ORIGINAL REFERENCE NO.: 102:9805a,9808a

TITLE: Synthetic microbial chemistry. IV. Synthesis of (±)-ascochlorin, (±)-ascofuranone and LL-Z1272α

AUTHOR(S): Mori, Kenji; Fujioka, Takafumi

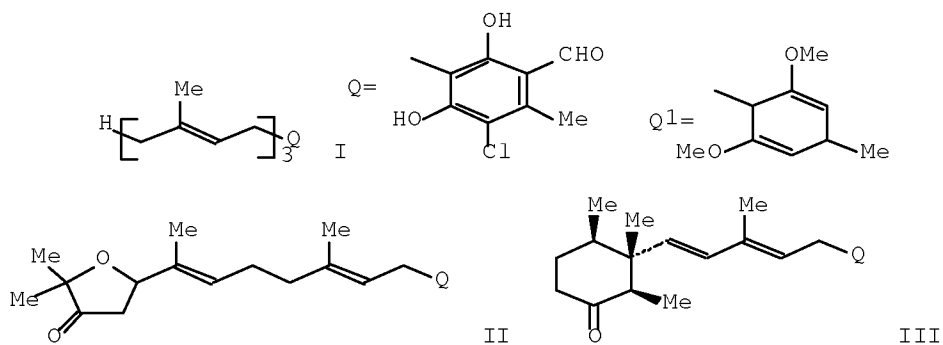
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Tetrahedron (1984), 40(14), 2711-20  
 CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



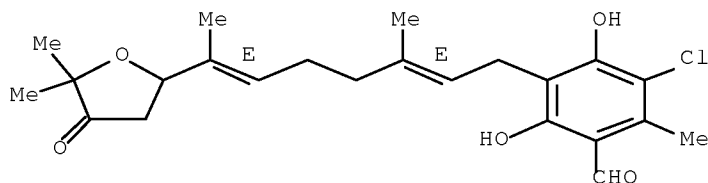
AB LL-Z 1272a (I) was prepared by alkylation of the cyclohexadiene HQ1 with (E,E)-H(CH<sub>2</sub>CMe:CHCH<sub>2</sub>)<sub>3</sub>Br (Q2Br), oxidation-chlorination of the resulting Q2Q1, reduction of 4,6-dichloro-5-methyl-2-Q2-1,3-cyclohexanedione, and formylation of the resulting m-phenylenediol 1,3,2,4,5-(HO)<sub>2</sub>Q2ClMeC<sub>6</sub>H. Ascofuranone (II) and ascochlorin (III) were prepared similarly.

IT 86832-77-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 54 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:6847 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 102:6847

ORIGINAL REFERENCE NO.: 102:1242h,1243a

TITLE: A simple total synthesis of (±)-ascofuranone

AUTHOR(S): Chen, Kau Ming; Joullie, Madeleine M.

CORPORATE SOURCE: Dep. Chem., Univ. Pennsylvania, Philadelphia, PA, 19104, USA

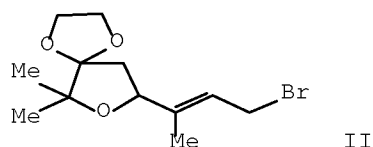
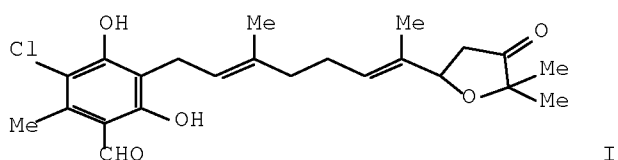
SOURCE: Tetrahedron Letters (1984), 25(35), 3795-6  
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 102:6847

GI



AB (±)-Ascofuranone (I) was prepared in 8 steps from the trioxaspiroirononane derivative II.

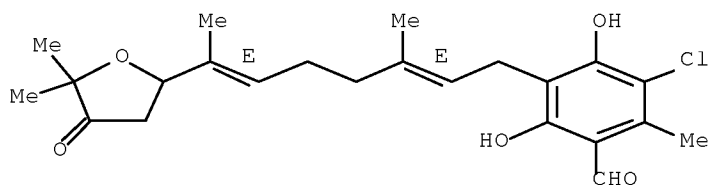
IT 86832-77-1F

RL: SPN (Synthetic preparation); PREP (Preparation)  
(total synthesis of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 55 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:515682 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 99:115682

ORIGINAL REFERENCE NO.: 99:17635a,17638a

TITLE: Effects of an antitumor agent, ascofuranone, on the macromolecular synthesis of intact cells

AUTHOR(S): Magae, Junji; Nagai, Kazuo; Ando, Kunio; Yamasaki, Makari; Tamura, Gakuzo

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

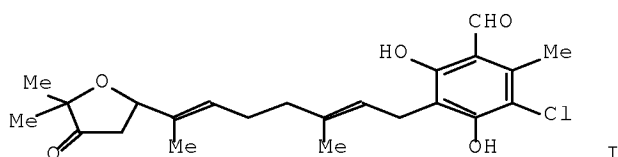
SOURCE: Journal of Antibiotics (1983), 36(7), 892-9

CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Ascofuranone (AF)(I) [38462-04-3] completely prevented the growth of L5178Y at 25 µg/mL. The compound inhibited macromol. syntheses. Protein synthesis was most severely inhibited by AF. AF (even at 2 mg/mL) did not affect protein synthesis by a cell-free system. Although AF inhibited the incorporation of [14C]acetate into the total precipitable products only slightly, the synthetic pattern of simple lipids from [14C]acetate was significantly changed. The incorporation of [14C]acetate into squalene was almost completely blocked at 25 µg/mL. The incorporation of [14C]acetate into triglycerides was inhibited and that into cholesterol [57-88-5] was enhanced, the incorporation of [14C]acetate into diglycerides was enhanced by AF and that of [3H]glycerol was inhibited. The incorporation of [3H]glycerol and [3H]mevalonate into the intact cell was significantly inhibited as compared with [14C]acetate. AF inhibited hypotonic hemolysis. In contrast, hemolysis by deoxycholate was stimulated. Possible mechanism of the antitumor activity of AF is discussed.

IT 38462-04-3

RL: BIOL (Biological study)

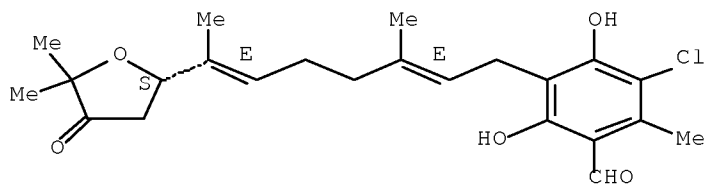
(macromol. formation response to, antitumor mechanism in relation to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 56 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:505029 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 99:105029

ORIGINAL REFERENCE NO.: 99:16161a,16164a

TITLE: Synthesis of (±)-ascofuranone, an antibiotic with hypolipidemic and antitumor protective properties

AUTHOR(S): Mori, Kenji; Fujioka, Takafumi

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

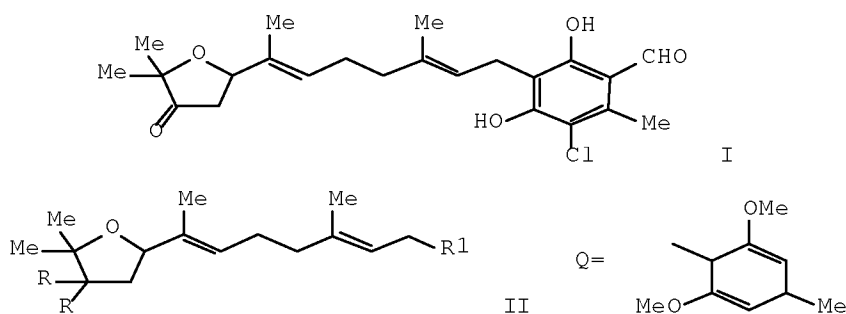
SOURCE: Tetrahedron Letters (1983), 24(14), 1547-8

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



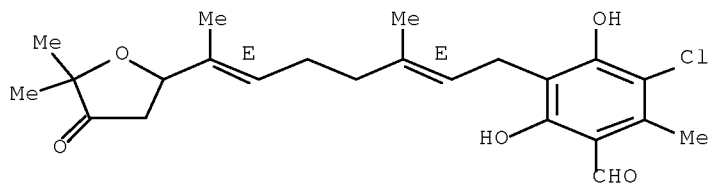
AB The title compound (I), previously isolated from *Ascochyta viciae*, was prepared in 12 steps from (E,E)-ROCH<sub>2</sub>CH:CM<sub>2</sub>(CH<sub>2</sub>)<sub>2</sub>CH:CM<sub>2</sub>CHO (R = tetrahydropyranyl) and Me<sub>2</sub>C(OH)COMe. The key steps were the cyclization of ROCH<sub>2</sub>CH:CM<sub>2</sub>(CH<sub>2</sub>)<sub>2</sub>CH:CM<sub>2</sub>CH(OH)CH<sub>2</sub>COCMe<sub>2</sub>OH (R as before) by MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H in CH(OMe)<sub>3</sub> containing MeOH to give 52.9% furanone II (R<sub>2</sub> = O, R<sub>1</sub> = tetrahydropyranyloxy), and the alkylation of II (R<sub>2</sub> = OCH<sub>2</sub>CH<sub>2</sub>O, R<sub>1</sub> = Br) with 1,5-dimethoxy-3-methyl-1,4-cyclohexadiene to give 33.2% II (R<sub>2</sub> as before, R<sub>1</sub> = Q).

IT 86832-77-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 57 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:27453 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 98:27453

ORIGINAL REFERENCE NO.: 98:4177a,4180a

TITLE: Antitumor protective property of an isoprenoid antibiotic, ascofuranone

AUTHOR(S): Magae, Junji; Hosokawa, Tomoyoshi; Ando, Kunio; Nagai, Kazuo; Tamura, Gakuzo

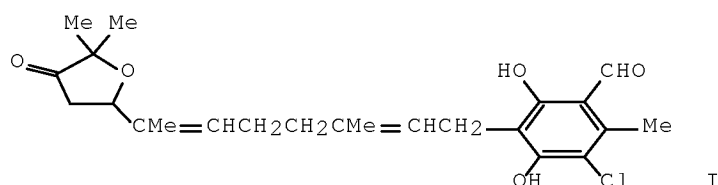
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, Japan

SOURCE: Journal of Antibiotics (1982), 35(11), 1547-52

CODEN: JANTAJ; ISSN: 0021-8820



DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB ascofuranone (AF)(I) [38462-04-3] showed an antitumor protective effect against L-1210 leukemia when AF was administered once 7 days before tumor challenge. However, the effect was not elicited when host mice were treated with AF simultaneously with tumor challenge. AF pretreatment on day 7, 5 and 3 before tumor challenge protected the host from the ascites form of S-180. AF also retarded tumor growth when administered once daily for 5 consecutive days 24 h after transplantation, but antitumor effect was not seen with combined treatments before and after the transplantation. Similar results were noted with Ehrlich ascites carcinoma. AF treatment of normal mice enlarged the solid lymphoid organs without affecting body weight gain. The splenocytes derived from AF-treated mice lowered mitogenic response to phytohemagglutinin, while the mitogenic response to concanavalin A and lipopolysaccharide was unaffected.

IT 38462-04-3

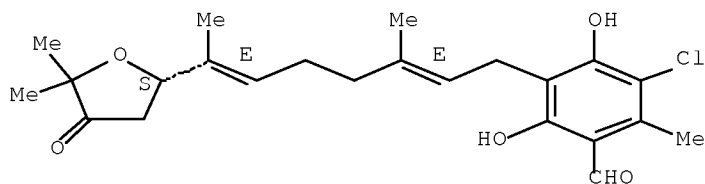
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by, immunity in relation to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 58 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:509754 CAPLUS Full-text

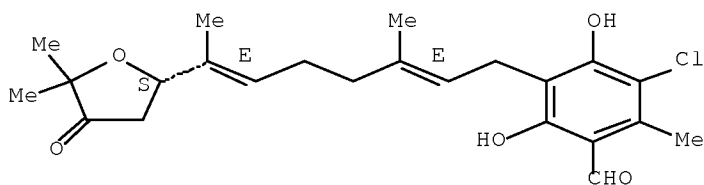
DOCUMENT NUMBER: 97:109754

ORIGINAL REFERENCE NO.: 97:18249a,18252a

TITLE: Approaches toward the total synthesis of ascofuranone and colletochlorin D

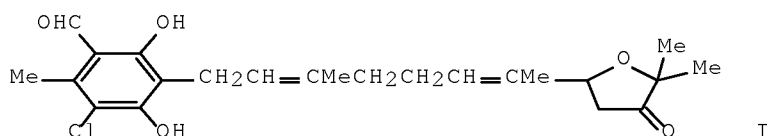
AUTHOR(S): Guthrie, Anne Elizabeth  
 CORPORATE SOURCE: Univ. Pennsylvania, Philadelphia, PA, USA  
 SOURCE: (1981) 176 pp. Avail.: Univ. Microfilms  
 Int., Order No. DA8207969  
 From: Diss. Abstr. Int. B 1982, 42(12, Pt. 1), 4793  
 DOCUMENT TYPE: Dissertation  
 LANGUAGE: English  
 AB Unavailable  
 IT 38462-04-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 38462-04-3 CAPLUS  
 CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-  
 tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX  
 NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 59 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1982:504224 CAPLUS Full-text  
 DOCUMENT NUMBER: 97:104224  
 ORIGINAL REFERENCE NO.: 97:17203a,17206a  
 TITLE: Ascofuranone as a neoplasm inhibitor  
 PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57088119	A	19820601	JP 1980-162621	19801120 <--
JP 63061929	B	19881130		
PRIORITY APPLN. INFO.:			JP 1980-162621	19801120 <--
GI				



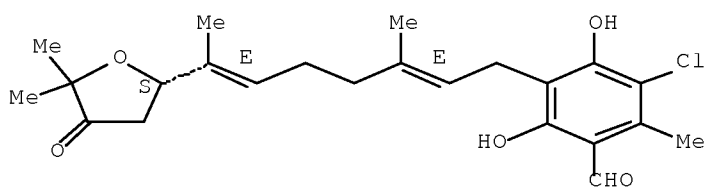
AB ascofuranone (I) [38462-04-3] is a neoplasm inhibitor. Thus, pretreatment of mice with I (300 mg/kg, i.p.) inhibited the growth of leukemia L-1210 cells and prolonged the animal's survival by 75%.

IT 38462-04-3  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (neoplasm inhibitor)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 60 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:217555 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 96:217555

ORIGINAL REFERENCE NO.: 96:35940h,35941a

TITLE: Synthetic studies of fungal metabolites: ascofuranone and colletochlorin D

AUTHOR(S): Guthrie, Anne A.; Semple, J. Edward; Joullie, Madeleine M.

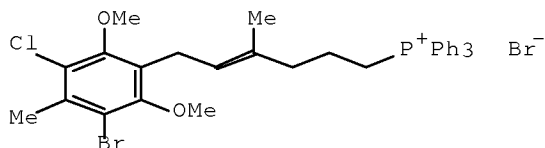
CORPORATE SOURCE: Dep. Chem., Univ. Pennsylvania, Philadelphia, PA, 19104, USA

SOURCE: Journal of Organic Chemistry (1982), 47(12), 2369-76  
 CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Procedures have been developed for the synthesis of hexasubstituted aromatic rings which are present in many fungal metabolites, such as ascofuranone and

collectochlorin D. (3-Bromo-5-chloro-2,6-dimethoxy-p-tolyl)acetaldehyde was synthesized from orcinol in 8 steps. This aldehyde was converted to 2-bromo-6-chloro-3,5-dimethoxy-4-(3-methyl-2-butenyl)toluene which was formylated to afford 3-chloro-4,6-dimethoxy-2-methyl-5-(3-methyl-2-butenyl)benzaldehyde. Although various demethylation procedures were tried, demethylation of both methoxy groups could not be accomplished. In an attempt to synthesize ascofuranone, (3-bromo-5-chloro-2,6-dimethoxy-p-tolyl)acetaldehyde was treated with isopropenylmagnesium bromide to afford an unstable allylic alc. which was immediately subjected to the conditions of the orthoacetate Claisen rearrangement to give 2-bromo-6-chloro-4-[(E)-5-(ethoxycarbonyl)-3-methyl-2-pentenyl]-3,5-dimethoxytoluene. This compound was then converted to I in 3 steps. All attempts to carry out a Wittig reaction between I and 8-acetyl-6,6-dimethyl-1,4,7-trioxaspiro[4.4]nonane failed. Other coupling methods were equally unsuccessful.

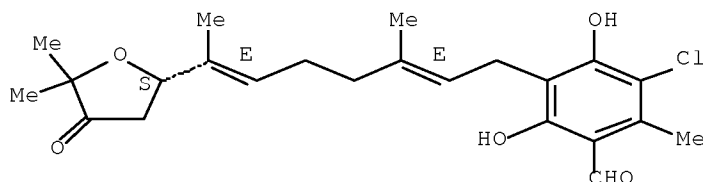
IT 38462-04-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(attempted preparation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 61 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981:167655 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 94:167655

ORIGINAL REFERENCE NO.: 94:27250h, 27251a

TITLE: Unusual concentration of urine and prevention of polydipsia by fungal prenylphenols in DOCA hypertensive rats

AUTHOR(S): Hosokawa, Tomoyoshi; Okutomi, Tsuneo; Sawada, Mikio; Ando, Kunio; Tamura, Gakuzo

CORPORATE SOURCE: Fac. Agric., Univ. Tokyo, Tokyo, Japan

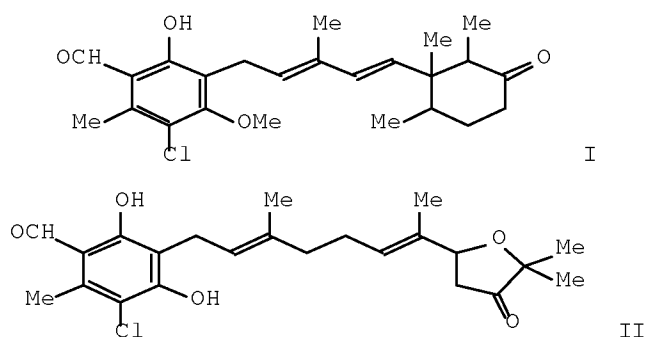
SOURCE: European Journal of Pharmacology (1981), 69(4), 429-38

CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB When deoxycorticosterone acetate (DOCA) [38561-40-9]-loaded uninephrectomized rats were fed on standard laboratory pellet diet and 1% saline for 5 wk, caloric homeostasis became abnormal resulting in hyperlipidemia, cholesterol deposit in the heart, significant reduction of triglycerides in the aorta, heart, and liver and a 60% increase in the cardiac free fatty acids on the one hand and a 50% reduction of the hepatic FFA on the other. These facts suggest that the hypertension severely reduces hepatic lipogenesis, whereas the cardiovascular system depends much more on FFA as a metabolic fuel than on glucose. This idea is supported by the deficiency in total body K and decrease in serum immunoreactive insulin which occur in the hypertension. These alterations were attenuated by the fungal prenylphenols, 4-O-methylascocochlorin (I) [38561-40-9] and ascofuranone (II) [38462-04-3]. The protective effect seems to be partly attributable to counteracting the action of DOCA. In addition, the agents caused a specific increase of renal water reabsorption. I treatment resulted in a particularly marked reduction of saline intake and excretion of unusually thick urine with 2.8 times higher Na concentration than in the DOCA/saline control rats.

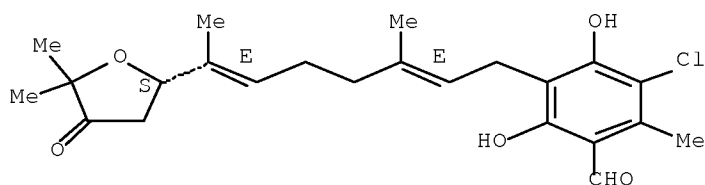
IT 38462-04-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(polydipsia and urine excretion response to, in hypertension)

RN 38462-04-3 CAPLUS

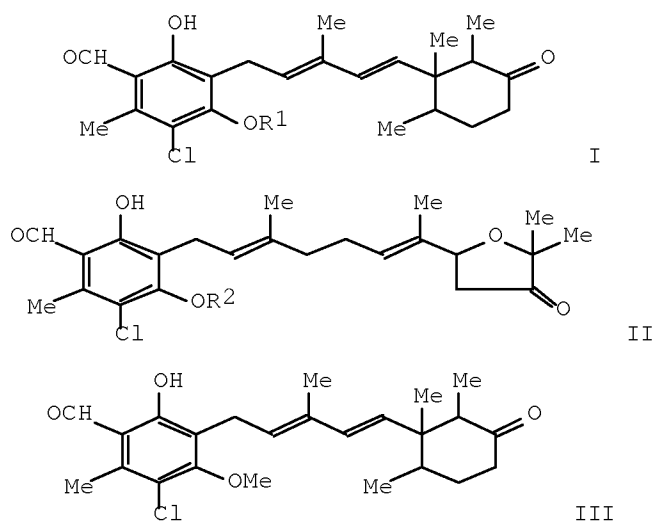
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



ORIGINAL REFERENCE NO.: 94:15579a,15582a  
 TITLE: Ascochlorin and ascofuranone derivatives for the acceleration of copper excretion  
 PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55113712	A	19800902	JP 1979-19693	19790223 <--
PRIORITY APPLN. INFO.: GI			JP 1979-19693	A 19790223 <--



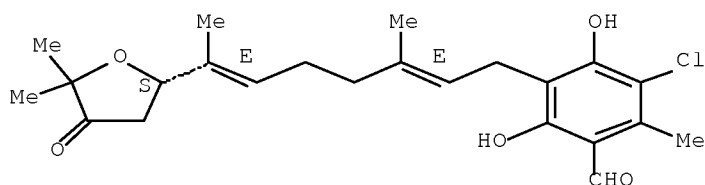
AB The title compds. I and II where R1 = lower alkyl and R2 = lower alkyl or acyl groups are effective in increasing Cu excretion. Thus, 4-O-methylascochlorin (III) [38561-40-9] (100 mg/kg, orally) given to rats pretreated with CuCl<sub>2</sub> (100 mg/kg, orally) decreased Cu contents in blood serum and liver to 124 µg/dL and 25.3 µg/g, resp., from 132 µg/dL and 10.9 µg/g of the control rats untreated with III.

IT 38462-04-3  
 RL: BIOL (Biological study)  
 (copper metabolic disorder treatment with)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

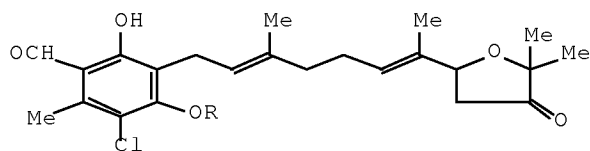
Absolute stereochemistry.  
 Double bond geometry as shown.



L26 ANSWER 63 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1978:510056 CAPLUS Full-text  
 DOCUMENT NUMBER: 89:110056  
 ORIGINAL REFERENCE NO.: 89:16977a,16980a  
 TITLE: An ascorfuranone derivative and process for preparing the same  
 INVENTOR(S): Okada, Masashi  
 PATENT ASSIGNEE(S): Japan  
 SOURCE: Brit., 5 pp.  
 CODEN: BRXXAA  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1498334	A	19780118	GB 1976-11305	19760319 <--
PRIORITY APPLN. INFO.:			GB 1976-11305	A 19760319 <--

GI



I

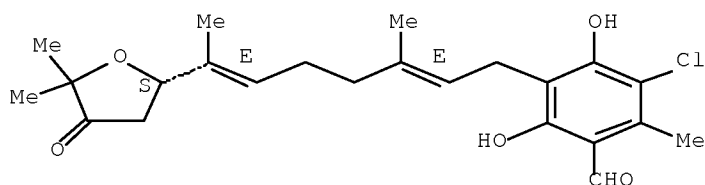
AB The preparation is described of 4-O-acylascofuranones I (R = acyl). Thus, I (R = Ac, nicotinoyl), which have hypotensive activity, were prepared from I (R = H) by treatment with Ac<sub>2</sub>O and nicotinic chloride.HCl, resp., in pyridine or pyridine-C<sub>6</sub>H<sub>6</sub> at room temperature (3 h or overnight). The hypotensive activity of I (R = Ac) was assessed in rats.

IT 38462-04-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



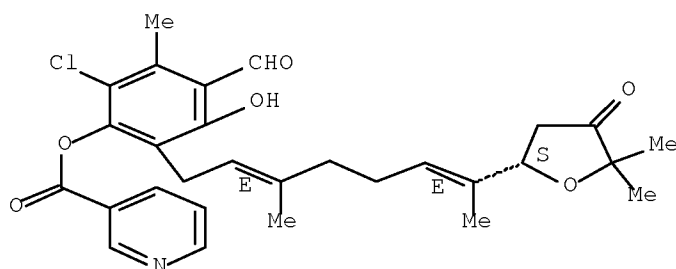
IT 60217-10-9F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and hypotensive activity of)

RN 60217-10-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 64 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:494217 CAPLUS Full-text

DOCUMENT NUMBER: 85:94217

ORIGINAL REFERENCE NO.: 85:15089a,15092a

TITLE: Ascofuranone derivatives

INVENTOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Okutomi, Tsuneo

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

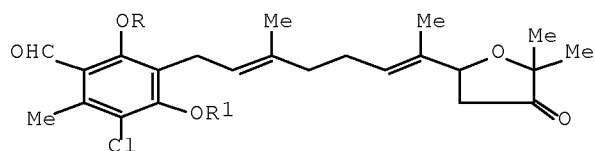
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51036450	A	19760327	JP 1974-107697	19740920 <--
PRIORITY APPLN. INFO.:			JP 1974-107697	A 19740920 <--

GI



I, R=R<sup>1</sup>=HII, R=R<sup>2</sup>, R<sup>1</sup>=R<sup>3</sup>

AB Alkylation or acylation of ascofuranone (I; R = R<sup>1</sup> = H) (II) gave the acyl or alkylascofuranones I (R, R<sup>1</sup> = H, alkyl, acyl). I had antihypertensive activity (no data). In an example, a mixture of II 404, K<sub>2</sub>CO<sub>3</sub> 300, and EtI 800 mg in Me<sub>2</sub>CO was refluxed 2 hr to give 300 mg I (R = H, R<sup>1</sup> = Et). Addnl. I prepared were (R and R<sup>1</sup> given): Me, Me; H, Ac; H, nicotinoyl.

IT 38462-04-3

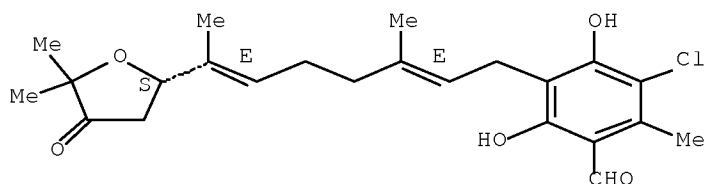
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation and alkylation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 55968-32-6P 60217-08-5P 60217-10-9P

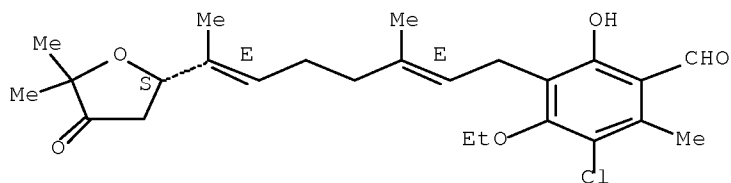
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 55968-32-6 CAPLUS

CN Benzaldehyde, 3-chloro-4-ethoxy-6-hydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

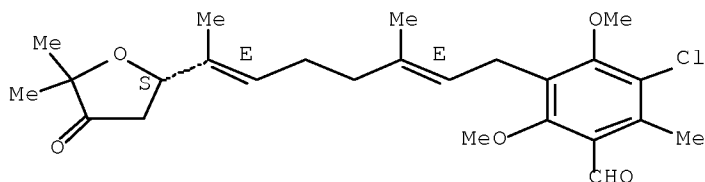


RN 60217-08-5 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dimethoxy-2-methyl-5-[3-methyl-7-(tetrahydro-

5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

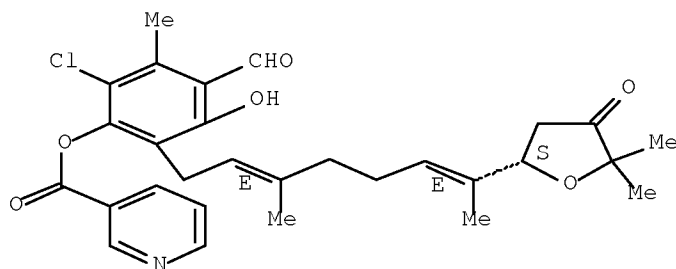
Absolute stereochemistry.  
Double bond geometry as shown.



RN 60217-10-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 65 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:476344 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 85:76344

ORIGINAL REFERENCE NO.: 85:12295a,12298a

TITLE: Antibiotic ascofuranone from Ascochyta viciae

INVENTOR(S): Sasaki, Hiroshi; Okutomi, Tsuneo; Hosokawa, Tomoyoshi; Nawata, Yoshiharu; Ando, Kunio

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan

SOURCE: Can., 18 pp.  
CODEN: CAXXA4

DOCUMENT TYPE: Patent

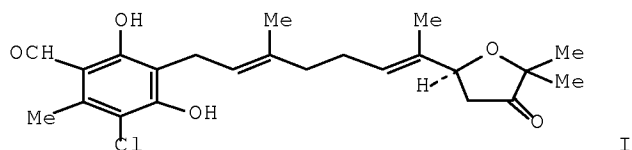
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 986865	A1	19760406	CA 1973-172532	19730525 <--
PRIORITY APPLN. INFO.:			CA 1973-172532	A 19730525 <--

GI



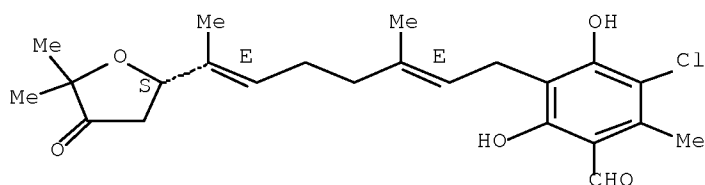
AB The process for production of ascofuranone (I) [38462-04-3] by the aerobic culturing of *Ascochyta viciae* is described. This antibiotic has antiviral, anti-tumor, hypotensive, and hypolipidemic properties. *A. viciae* was inoculated to a medium containing glucose 3.0, glycerol 1.0, peptone 0.5, corn steep liquor 0.2, NH<sub>4</sub>Cl 0.1, KH<sub>2</sub>PO<sub>4</sub> 0.06, MgSO<sub>4</sub> 0.04, and CaCO<sub>3</sub> 1.0% and incubated for 96 hr at 27° with aeration and stirring. Ten l. of acetone was added to the filtered mycelium and extraction proceeded overnight. The extract was concentrated, adjusted to pH 3.0 with dilute HCl, and extracted twice with hexane. The extract was dried, concentrated, and I crystallized

IT 38462-04-3  
RL: BIOL (Biological study)  
(a new antibiotic)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 66 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:471740 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 83:71740

ORIGINAL REFERENCE NO.: 83:11217a,11220a

TITLE: Effect of ascofuranone on serum lipids of rats fed a cholesterol rich diet

AUTHOR(S): Hosokawa, Tomoyoshi; Suzuki, Koji; Okutomi, Tsuneo; Sawada, Mikio; Ando, Kunio

CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan

SOURCE: Japanese Journal of Pharmacology (1975), 25(1), 35-9  
CODEN: JJPAAZ; ISSN: 0021-5198

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Ascofuranone (I) [38462-04-3] administered orally (20 mg/day for 10 consecutive days) to rats receiving a cholesterol-rich diet decreased serum

lipid levels and cardiac and hepatic cholesterol contents without affecting the body weight gain. The serum albumin/globulin ratio increased after I administration. This increase may be due to the decrease of  $\beta$ -lipoprotein.

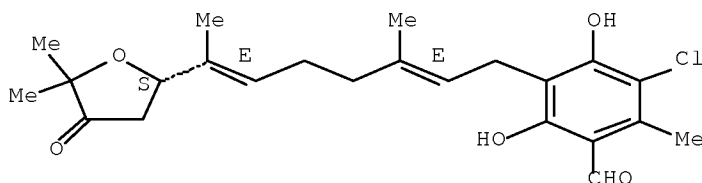
IT 38462-04-3

RL: BIOL (Biological study)  
(blood lipids response to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 67 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:469452 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 83:69452

ORIGINAL REFERENCE NO.: 83:10853a,10856a

TITLE: Molecular structure of 4-O-ethyl ascofuranone

AUTHOR(S): Ando, Kunio; Sasaki, Hiroshi; Hosokawa, Tomoyoshi;  
Nawata, Yoshiharu; Iitaka, Yoichi

CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co. Ltd., Tokyo, Japan

SOURCE: Tetrahedron Letters (1975), (11), 887-90

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB The structure of the title compound (I) was determined by x-ray anal. Crystals are orthorhombic, space group P212121, with a 11.722, b 34.629, c 6.121, and Z = 4. The structure was solved by the multisoln. method and refined by least-squares to R 0.06. The absolute configuration of I was determined by Bijovet's method.

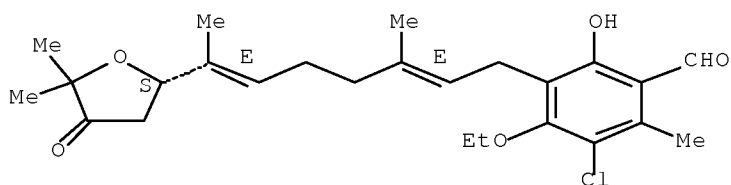
IT 55968-32-6

RL: PRP (Properties)  
(crystal structure of)

RN 55968-32-6 CAPLUS

CN Benzaldehyde, 3-chloro-4-ethoxy-6-hydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 68 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:144971 CAPLUS Full-text

DOCUMENT NUMBER: 82:144971

ORIGINAL REFERENCE NO.: 82:23131a, 23134a

TITLE: Antihypertensive ascofuranone preparations

INVENTOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Okutomi, Tsuneo;  
Nawata, Yoshiharu; Ando, Kunio

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd.

SOURCE: Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2425308	A1	19741219	DE 1974-2425308	19740524 <--
US 3873529	A	19750325	US 1973-364033	19730525 <--
GB 1465771	A	19770302	GB 1974-22748	19740521 <--
FR 2230344	A1	19741220	FR 1974-18264	19740527 <--
US 3962455	A	19760608	US 1974-526175	19741122 <--
PRIORITY APPLN. INFO.:			US 1973-364033	A 19730525 <--

GI For diagram(s), see printed CA Issue.

AB Formulations for capsules, powders, and tablets containing the antibiotic ascofuranone (I) [38462-04-3] were reported. I had antihypertensive effects in rats on oral or i.p. administration. Thus, tablets were prepared from I 100, lactose 210, cellulose 72, corn starch 14, and Mg stearate 4 g.

IT 38462-04-3

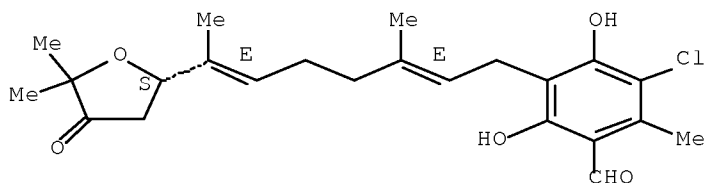
RL: BIOL (Biological study)  
(antihypertensive)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 69 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:15180 CAPLUS Full-text  
 DOCUMENT NUMBER: 82:15180  
 ORIGINAL REFERENCE NO.: 82:2441a,2444a  
 TITLE: Isolation and structure of ascochlorin and its analogs  
 AUTHOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Nawata, Yoshiharu; Ando, Kunio  
 CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan  
 SOURCE: Agricultural and Biological Chemistry (1974), 38(8), 1463-6  
 CODEN: ABCHA6; ISSN: 0002-1369

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Ascochlorin (I) and its analogs were isolated from the filter cake of the fermented broth of a fungus, *Ascochyta viciae*; the compds. obtained were I, LL-Z1272δ (II), LL-Z1272ε (III), ascofuranone (IV), ascofuranol (V), and a new analog (VI). Some of these prenyl phenols show hypolipidemic activity in both normolipidemic and hyperlipidemic rats. Details of the structure determination for ascochlorin are presented.

IT 38462-04-3

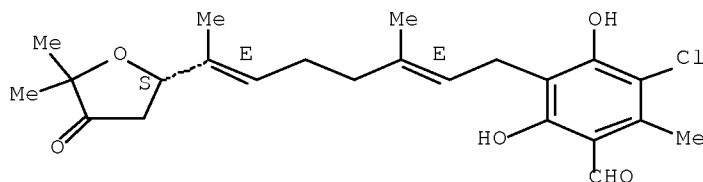
RL: FORM (Formation, nonpreparative)  
 (formation of, by *Ascochyta viciae*)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L26 ANSWER 70 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1974:409729 CAPLUS Full-text  
 DOCUMENT NUMBER: 81:9729  
 ORIGINAL REFERENCE NO.: 81:1557a,1560a  
 TITLE: Hypolipidemic property of ascofuranone  
 AUTHOR(S): Sawada, Mikio; Hosokawa, Tomoyoshi; Okutomi, Tsueno; Ando, Kunio  
 CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan  
 SOURCE: Journal of Antibiotics (1973), 26(11), 681-6  
 CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ascofuranone [38462-04-3], isolated from the filter cake of the fermented broth of the fungus *Ascochyta viciae*, significantly decreased serum lipid levels in rats fed normal diet, 6 hr after oral administration of 108 mg/kg.

The antibiotic given orally to normolipidemic rats for 10 consecutive days, caused a marked decrease in serum cholesterol [57-88-5], triglycerides, phospholipids, and free fatty acids without affecting organ weight gain, serum protein, albumin/globulin ratio, and serum transaminase [9031-66-7]. Heart cholesterol content was also lowered, but liver total sterol and fecal sterol excretion remained unchanged. Acute toxicity of ascofuranone was low in mice and rats, and unlike Clofibrate, it did not induce hepatomegaly.

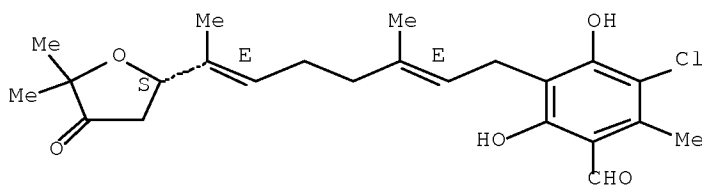
IT 38462-04-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(hypolipidemic activity of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 71 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1974:94259 CAPLUS Full-text

DOCUMENT NUMBER: 80:94259

ORIGINAL REFERENCE NO.: 80:15171a,15174a

TITLE: Ascofuranone, an antibiotic

INVENTOR(S): Hosokawa, Tomoyoshi; Okutomi, Tsuneo; Sasaki, Hiroshi

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48091278	A	19731128	JP 1972-22820	19720307 <--
JP 56025310	B	19810611		

PRIORITY APPLN. INFO.: JP 1972-22820 A 19720307 <--

AB Ascofuranone (I), with antiviral and anticancer activities, was produced by *A. viciae* (FERM-P 129). I accumulated in the mycelium was extracted with an organic solvent miscible with H<sub>2</sub>O (e.g. MeOH, EtOH, or Me<sub>2</sub>CO) and then with EtOAc or C<sub>6</sub>H<sub>14</sub>, and purified by silica gel chromatog. I had a mol. weight of 420, mol. formula of C<sub>23</sub>H<sub>29</sub>O<sub>5</sub>Cl, and m.p. of 84°, was fat soluble, had crystalline needles, was insol. in H<sub>2</sub>O and soluble in EtOAc, C<sub>6</sub>H<sub>6</sub>, CHCl<sub>3</sub>, Me<sub>2</sub>CO, MeOH, EtOH, and C<sub>6</sub>H<sub>14</sub> and gave pos. Beilstein and FeCl<sub>3</sub> reactions. R<sub>f</sub> value on a silica gel thin-layer chromatog. was 0.42. I had no action against bacteria, yeasts, or molds. *A. viciae* was cultured aerobically in a medium

containing glucose 5, peptone 0.5, yeast extract 0.2, NH<sub>4</sub>Cl 0.1, KH<sub>2</sub>PO<sub>4</sub> 0.06, MgSO<sub>4</sub> 0.04 and CaCO<sub>3</sub> 1.0% at 27° for 96 hr.

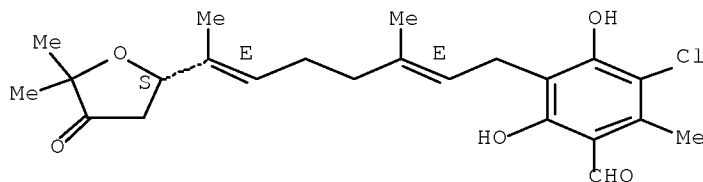
IT 38462-04-3

RL: BIOL (Biological study)  
(antibiotic)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L26 ANSWER 72 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1974:56781 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 80:56781

ORIGINAL REFERENCE NO.: 80:9213a,9216a

TITLE: Isolation and structure of ascofuranone and  
ascofranol, antibiotics with hypolipidemic activity  
AUTHOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Sawada, Mikio;  
Ando, Kunio

CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan

SOURCE: Journal of Antibiotics (1973), 26(11),  
676-80

CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB A new antibiotic with hypolipidemic activity, ascofuranone, C<sub>23</sub>H<sub>29</sub>ClO<sub>5</sub> (I) and a related substance, ascofuranol, C<sub>23</sub>H<sub>31</sub>ClO<sub>5</sub> (II) were isolated from the filter cake of the fermented broth of *Ascochyta viciae*, an ascochlorin-producing fungus. The structures possess a 3-substituted-5-chloro-orcylaldehyde moiety with novel sesquiterpenyl side chains.

IT 38462-04-3

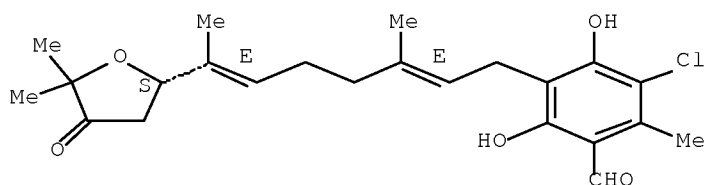
RL: BIOL (Biological study)  
(of *Ascochyta viciae*, structure of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.





L26 ANSWER 73 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1972:526880 CAPLUS Full-text

DOCUMENT NUMBER: 77:126880

ORIGINAL REFERENCE NO.: 77:20905a,20908a

TITLE: Ascofuranone, a new antibiotic from *Ascochyta viciae*

AUTHOR(S): Sasaki, H.; Okutomi, T.; Hosokawa, T.; Nawata, Y.; Ando, K.

CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan

SOURCE: Tetrahedron Letters (1972), (25), 2541-4

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Antibiotic ascofuranone (I) was isolated from the fermented broth of *A. viciae*. It gave pos. Beilstein and FeCl<sub>3</sub> reactions and was reduced by NaBH<sub>4</sub>. The structure of I was deduced on the basis of its ir, NMR, and mass spectra.

IT 38462-04-3P

RL: PREP (Preparation)

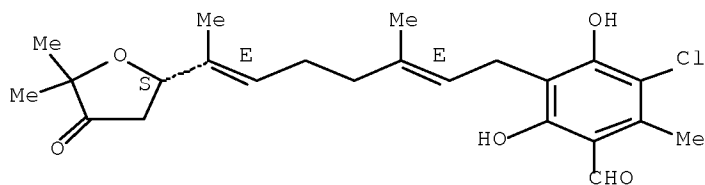
(from *Ascochyta viciae*, structure of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



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(FILE 'HOME' ENTERED AT 16:34:05 ON 27 DEC 2007)

FILE 'REGISTRY' ENTERED AT 16:34:31 ON 27 DEC 2007

L1 STR

L2 1 SEA SSS SAM L1

D SCA

L3 61 SEA SSS FUL L1

FILE 'CAPLUS' ENTERED AT 16:43:25 ON 27 DEC 2007  
L4 150 SEA ABB=ON PLU=ON L3  
L5 129 SEA ABB=ON PLU=ON L4 AND (PY<2004 OR PRY<2004 OR AY<2004)  
L6 ANALYZE PLU=ON L5 1-129 RN : 2026 TERMS  
D

FILE 'REGISTRY' ENTERED AT 16:45:24 ON 27 DEC 2007  
L7 1 SEA ABB=ON PLU=ON 38462-04-3  
D SCA  
L8 60 SEA ABB=ON PLU=ON L3 NOT L7

FILE 'CAPLUS' ENTERED AT 16:45:42 ON 27 DEC 2007  
L9 94 SEA ABB=ON PLU=ON L8  
L10 79 SEA ABB=ON PLU=ON L9 AND (PY<2004 OR PRY<2004 OR AY<2004)  
L11 ANALYZE PLU=ON L10 1-79 RN : 904 TERMS  
D

FILE 'REGISTRY' ENTERED AT 16:46:15 ON 27 DEC 2007  
L12 1 SEA ABB=ON PLU=ON 25555-57-1  
D SCA  
L\*\*\* DEL 34 S LL8 NOT L12  
L13 59 SEA ABB=ON PLU=ON L8 NOT L12

FILE 'CAPLUS' ENTERED AT 16:46:49 ON 27 DEC 2007  
L14 72 SEA ABB=ON PLU=ON L13  
L15 62 SEA ABB=ON PLU=ON L14 AND (PY<2004 OR PRY<2004 OR AY<2004)  
L16 ANALYZE PLU=ON L15 1-62 RN : 768 TERMS  
D

FILE 'REGISTRY' ENTERED AT 16:47:27 ON 27 DEC 2007  
L\*\*\* DEL 1 S 26166-39-2  
D SCA  
L\*\*\* DEL 59 S L13 NOT L17  
L17 0 SEA ABB=ON PLU=ON L7 AND (PY<2004 OR PRY<2004 OR AY<2004)  
NOT L15

FILE 'CAPLUS' ENTERED AT 16:48:38 ON 27 DEC 2007  
L18 50 SEA ABB=ON PLU=ON L7 AND (PY<2004 OR PRY<2004 OR AY<2004)  
NOT L15  
L19 17 SEA ABB=ON PLU=ON L12 AND (PY<2004 OR PRY<2004 OR AY<2004)  
NOT L15

FILE 'REGISTRY' ENTERED AT 16:50:06 ON 27 DEC 2007  
L20 STR  
L21 STR L1  
L22 STR L20  
L23 0 SEA SSS SAM L21 AND L22  
L24 43 SEA SSS FUL L21 AND L22

FILE 'CAPLUS' ENTERED AT 17:00:04 ON 27 DEC 2007  
L25 81 SEA ABB=ON PLU=ON L24  
L26 73 SEA ABB=ON PLU=ON L25 AND (PY<2004 OR PRY<2004 OR AY<2004)

FILE 'CAPLUS' ENTERED AT 17:00:25 ON 27 DEC 2007  
D QUE L26  
D L26 IBIB ABS HITSTR TOT